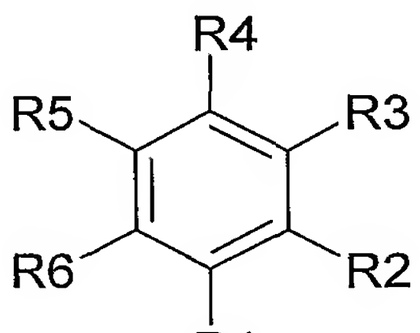
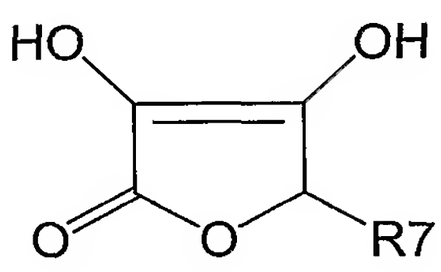
CLAIMS

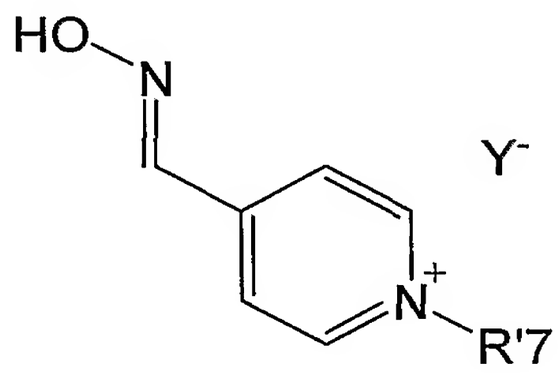
1. A pharmaceutical composition for treatment of diseases and disorders caused by or associated with heparanase catalytic activity, said composition comprising a pharmaceutically acceptable carrier and at least one heparanase inhibitor of the general formula I, II III or IV:



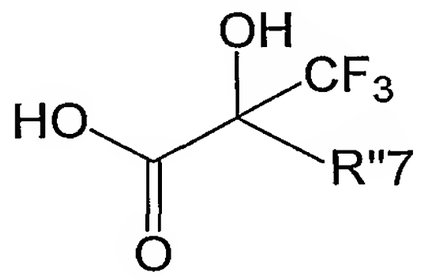
I



II



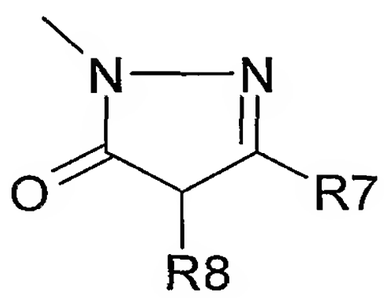
III

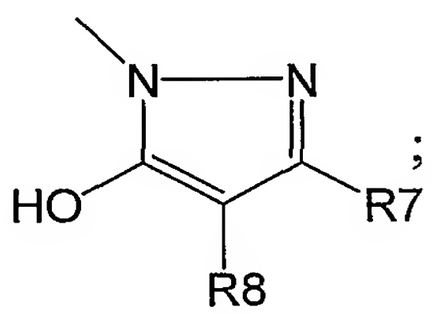


IV

wherein

R1 is selected from the group consisting of:

(i) 

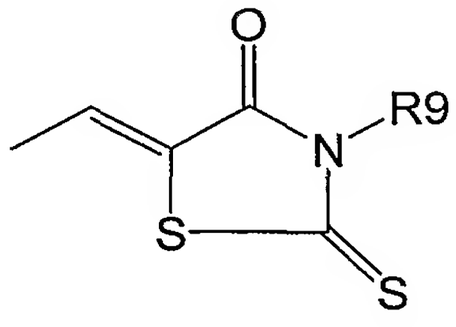
or the tautomer 

;

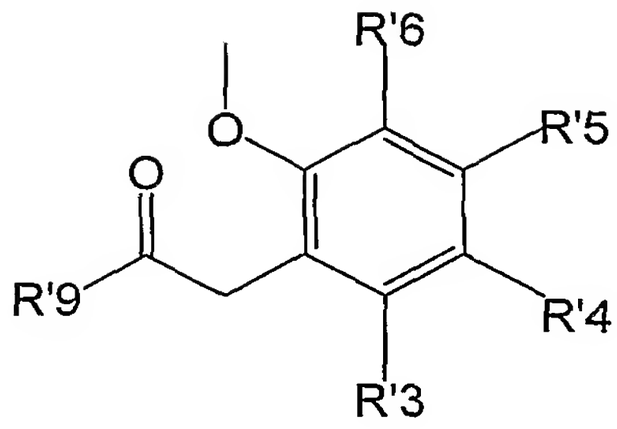
(ii) -N(R9)-CO(R10);

(iii) -CO- N(R9)(R10);

(iv) -SO_2R11;

(v) 

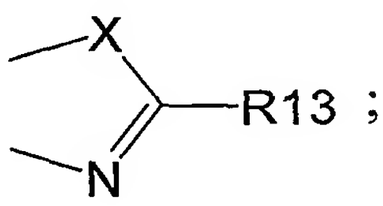
;

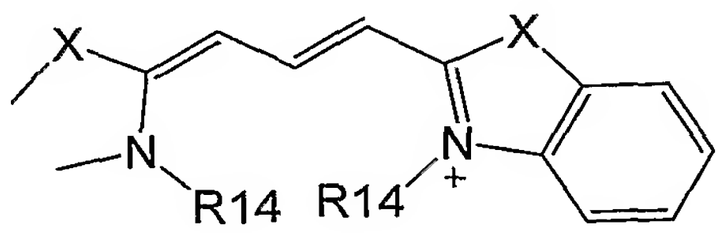
(vi)  ; and

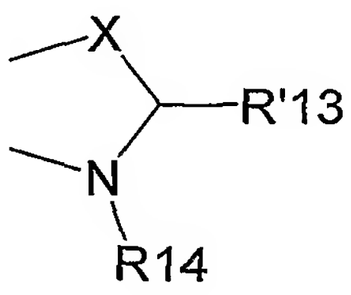
(vii) -CH(OH)-CH(NH-CO-R'7)-CH_2NR9R'9

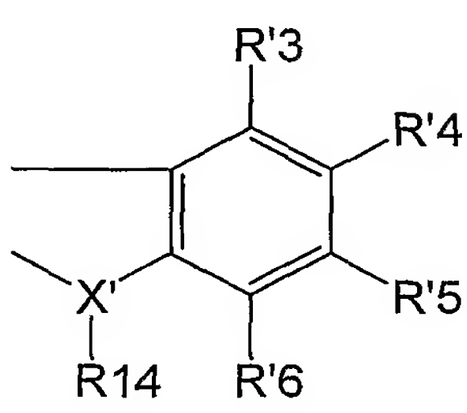
R2, R3, R4, R5, R6, R'3, R'4, R'5 and R'6 each independently represents hydrogen, halogen, nitro, (C1-C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl, -OR9', -SR9', -NR9R'9, -$(CH_2)_n$-NR9-COR'9, -COR'9, -COOR'9, -$(CH_2)_n$-CO-N(R9)(R'9); -SO_3R'9, -SO_2R'9, or -$NHSO_2$R'9;

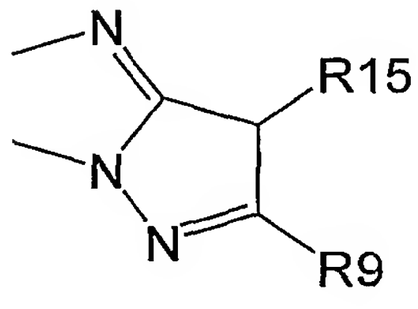
or R1 and R2 together are a moiety selected from the group consisting of:

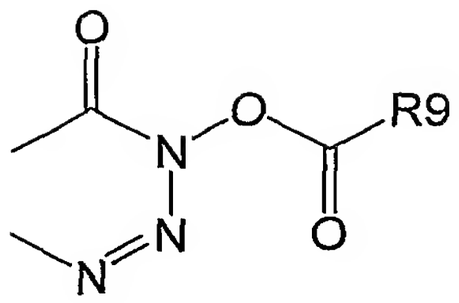
(i)  ;

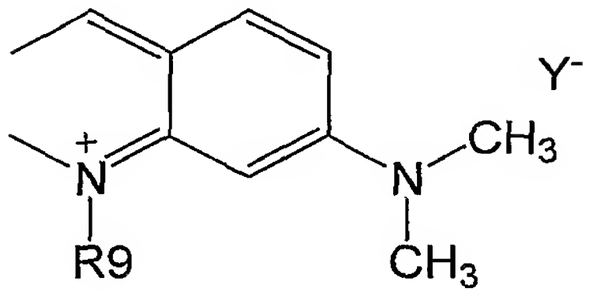
(ii)  ;

(iii)  ;

(iv)  ;

(v)  ;

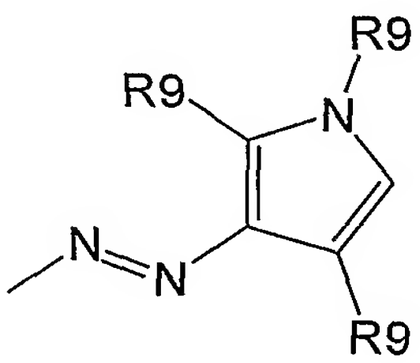
(vi)  ; and

(vii)  ;

wherein X is O, S, N(R12) or C(R'12, R''12) and X' is O or N;

or each pair of R2+R3, R3+R4, R4+R5 or R5+R6, together with the carbon atoms to which they are attached, form a 5- or 6-membered aromatic ring;

R7 is selected from the group consisting of H, halogen, (C1-C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl, -OR'9, -SR'9, -NR9R'9, -NR9-COR'9, -COR'9, -COOR'9, -CH(OH)-$(CH_2)_n$-O-CO-R9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-N(R9)(R'9), -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -N=N-(C6-C14) aryl, and

 ;

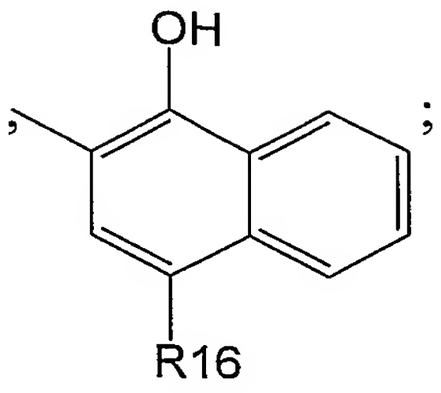
R'7 is (C1-C32) alkyl;

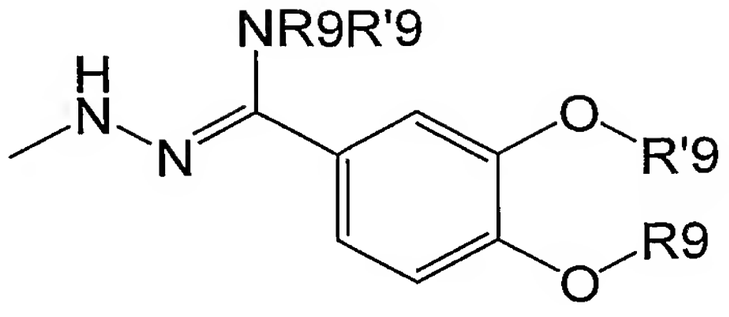
R''7 is (C2-C32) alkenyl;

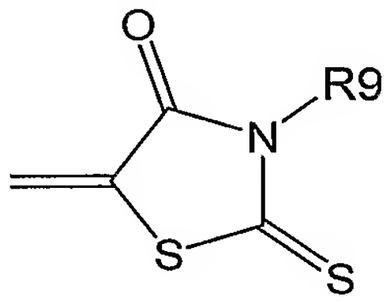
R8 is as defined for R7;

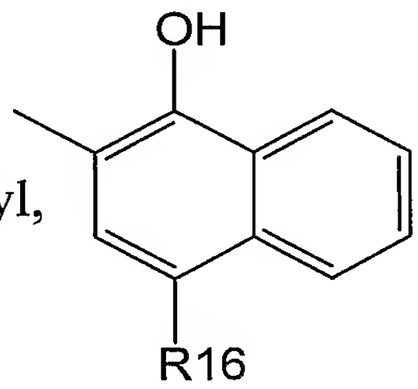
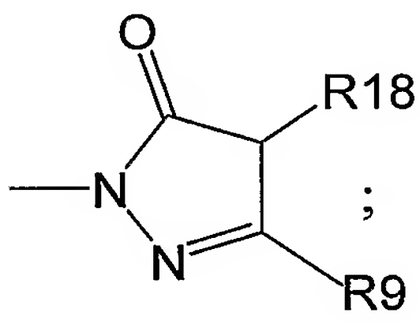
R9 is H or (C1-C32) alkyl and R'9 is H, (C1-C32) alkyl, (C2-C32) alkenyl or (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms;

R10 is selected from the group consisting of (C1-C32) alkyl, (C2-C32) alkenyl, -$(CH_2)_n$-CO-R17, -$(CH_2)_n$-NH-CO-R9-O-R'9, and



R11 is OH or  ;

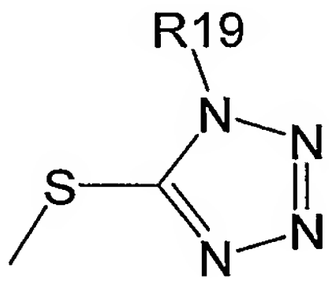
R12, R'12 and R''12 each is H or (C1-C32) alkyl, or R'12 and R''12 together are a radical  ;

R13 is selected from the group consisting of (C1-C32) alkyl, (C6-C14) aryl, -N=CH-(C6-C14)aryl,  and  ;

R'13 is =O, =NH or =N-NH-SO_2R'9;

R14 is H, (C1-C32) alkyl, -$(CH_2)_m$-CH(OH)- CH_2-NR9R'9 or -$(CH_2)_m$-CH(OH)-(C6-C14) aryl;

R15 is H or -SO_3H;

R16 is selected from the group consisting of H, halogen, -COOH, -SO_3H, -N=N-(C6-C14) aryl, and  ;

R17 is selected from the group consisting of (C1-C32) alkyl, (C6-C14) aryl, –NH-NH-CO-(C1-C32) alkyl, -NH-NH-CO-(C6-C14) aryl, -$(CH_2)_n$-NH-CO-C(R9)-O(C1-C32) alkyl, -$(CH_2)_n$-NH-CO-C(R9)-O(C6-C14) aryl, -$(CH_2)_n$-CO-(C1-C32) alkyl, and -$(CH_2)_n$-CO- (C6-C14) aryl;

R18 is H or =N-(C6-C14) aryl;

R19 is (C6-C14) aryl;

Y^- is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

n is 0 or an integer from 1 to 10; m is an integer from 1 to 10; and

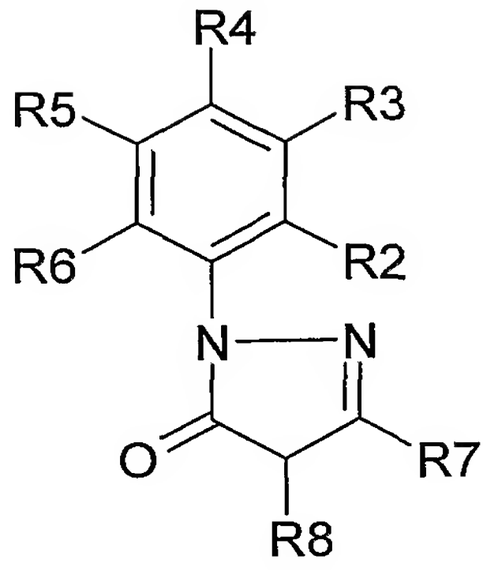
any "(C1-C32) alkyl" or "(C2-C32) alkenyl" may be straight or branched and may be interrupted by one or more heteroatoms selected from O, S and/or N, and/or substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl, (C6-C14) aryl, nitro, OR'9, SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -OPO_3R9R'9, -PO_2HR'9 and -PO_3R9R'9;

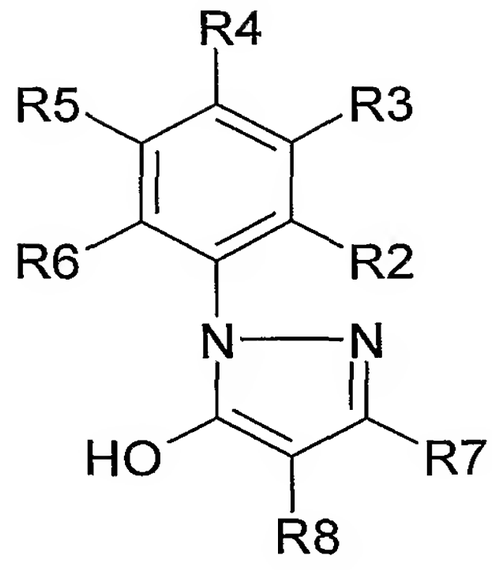
"heteroaryl" means a radical derived from a mono- or poly-cyclic heteroaromatic ring containing 1 to 3 heteroatoms selected from the group consisting of O, S and N; and

any "aryl" or "heteroaryl" may be substituted by one or more radicals selected from the group consisting of halogen, (C6-C14) aryl, (C1-C32)alkyl, nitro, -OR'9, -SR'9, -COR'9, COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, -$(CH_2)_n$-NR9-COR'9, and -$(CH_2)_n$-CO-NR9R'9;

and pharmaceutically acceptable salts thereof.

2. The pharmaceutical composition according to claim 1 comprising a compound of the formula Ia or I'a:

[Ia] 

[I'a] 

wherein

R2 is H, halogen, $-NH_2$ or $-SO_3H$;

R3 is H or $-SO_3H$;

R4 is H, halogen, $-SO_3H$, $-SO_2$-(C10-C22) alkyl or -O(C6-C14) aryl, wherein the aryl is unsubstituted or substituted by -O(C1-C8) alkyl;

R5 is H; R6 is H or halogen;

R7 is selected from the group consisting of:

(i) H;

(ii) (C10-C22) alkyl;

(iii) -COOH;

(iv) -NR9-COR'9, wherein R9 is H and R'9 is (C10-C22) alkyl optionally substituted by epoxy, (C10-C22) alkenyl optionally substituted by -COOH, or (C6-C14) aryl optionally substituted by $-SO_3H$ or -NH-CO-(C10-C22) alkyl; and

(v) (C6-C14) aryl optionally substituted by $-SO_3H$ or by -NR9-COR'9, wherein R9 is H and R'9 is (C10-C22) alkyl;

R8 is selected from the group consisting of:

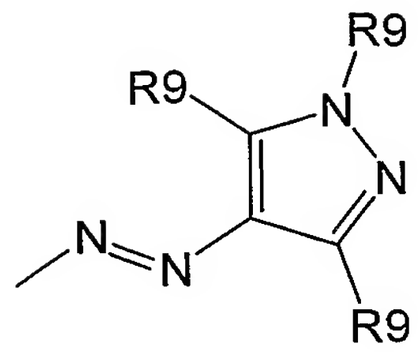
(i) H;

(ii) halogen;

(iii) (C2-C6) alkyl;

(iv) -O(C10-C22) alkyl;

(v) (C6-C14) aryl optionally substituted by one or more halogen, -OR'9, -COOR'9, $-SO_3R'9$, -NR9R'9 or -NR9COR'9, wherein R9 and R'9 each independently is H or (C10-C22) alkyl;

(vi) 

wherein R9 each independently is H or (C1-C12) alkyl; and

(vii) -N=N-(C6-C14) aryl optionally substituted by one or more halogen, -OR'9, -COOR'9, -SO_3R'9, -$NHSO_2$R'9, -NR9R'9, or -NR9-CO-R'9, wherein R9 and R'9 each independently is H or (C1-C6) alkyl, or R'9 is (C6-C14) aryl substituted by methyl;

wherein any "(C10-C22) alkyl" as defined in R4, R7 and R8 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -OPO_3R9R'9, -PO_2HR'9 and -PO_3R9R'9; and wherein R9 in this context is H or (C1-C32) alkyl and R'9 is H, (C1-C32) alkyl, (C2-C32) alkenyl or (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

3. The pharmaceutical composition according to claim 2 comprising a compound of formula Ia or I'a, wherein

R2 is H, Cl, -NH_2, or -SO_3H;

R3 is H or -SO_3H;

R4 is H, Cl, -SO_3H, -$SO_2C_{16}H_{33}$ or phenoxy optionally substituted by ethoxy;

R5 is H, -COOH or -SO_3H;

R6 is H or Cl;

R7 is selected from the group consisting of:

(i) H;

(ii) (C17-C20) alkyl;

(iii) -COOH;

(iv) -NR9-COR'9, wherein R9 is H and R'9 is (C11-C20) alkyl optionally substituted by epoxy, (C16-C20) alkenyl optionally substituted by -COOH, or phenyl optionally substituted by $-SO_3H$ or -NH-CO-$C_{17}H_{35}$;

(v) phenyl, optionally substituted by $-SO_3H$ or by -NR9-COR'9, wherein R9 is H and R'9 is (C17-C20) alkyl; and

R8 is selected from the group consisting of:

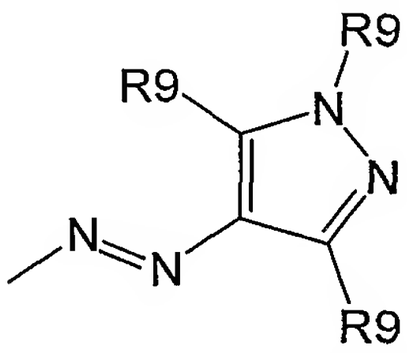
(i) H;

(ii) Br;

(iii) isopropyl;

(iv) $-OC_{16}H_{33}$;

(v) phenyl, optionally substituted by one or more halogen, -OR'9, -COOR'9, $-SO_3R'9$, -NR9R'9 or -NR9COR'9, wherein R9 and R'9 each independently is H or $-C_{16}H_{33}$;

(vi) 

wherein R9 each independently is H, methyl or decenyl; and

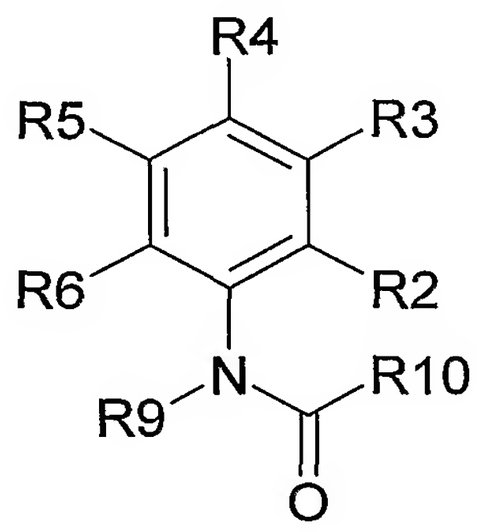
(vii) –N=N-phenyl optionally substituted by one or more Cl, -OR'9, -COOR'9, $-SO_3R'9$, $-NHSO_2R'$, -NR9R'9, or -NR9-CO-R'9, wherein R9 and R'9 each independently is H, methyl or ethyl, or R'9 is phenyl substituted by methyl.

4. The pharmaceutical composition according to claim 3 comprising a compound of formula Ia selected from the group of compounds herein designated **Compounds Nos. 1, 5-22, 24-30, 54, 56, 69, 71, 83, 84, 85** and **100.**

5. The pharmaceutical composition according to claim 3 comprising the compound of the formula I'a herein designated **Compound No. 32.**

6. The pharmaceutical composition according to claim 1 comprising a compound of the formula Ib:

[Ib]



wherein

R2 is selected from the group consisting of:

(i) H;

(ii) halogen;

(iii) -OH;

(iv) -O(C10-C22) alkyl;

(v) -COOH;

(vi) -NR9R'9, wherein R9 and R'9 each independently is H, or R9 is (C1-C6) alkyl and R'9 is H or (C10-C22) alkyl; and

(vii) -O(C6-C14) aryl optionally substituted by one or more –COOH or -CO-NH_2;

R3 is H or -COOH;

R4 is selected from the group consisting of:

(i) H;

(ii) $-SO_3H$

(iii) -O(C6-C14) aryl optionally substituted by one or more COOH;

(iv) -S(C6-C14) aryl optionally substituted by one or more COOH; and

(v) -NR9-CO-R'9, wherein R9 and R'9 each independently is H or (C10-C22) alkyl;

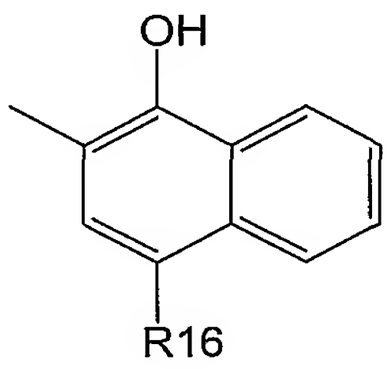
R5 is H, -COOH, $-SO_3H$, or $-NHSO_2$-(C6-C14) aryl optionally substituted by one or more -COOH;

R6 is H;

R9 is H or (C10-C22) alkyl;

R10 is selected from the group consisting of:

(i) (C10-C22) alkyl optionally substituted by one or more radicals selected from the group consisting of halogen, OH, epoxy and epithio;

(ii) 

wherein R16 is H, halogen, -COOH, $-SO_3H$, -S-tetrazol-5-yl optionally substituted by phenyl, or -N=N-(C6-C14) aryl optionally substituted by one or more radicals selected from the group consisting of halogen, (C1-C6) alkyl, (C6-C14) aryl, -OH, -COOH, -COOR'9, -OR'9 and $-NHSO_2R'9$, wherein R'9 is (C1-C6) alkyl or phenyl optionally substituted by (C1-C6) alkyl;

(iii) $-CH_2$-CO-R17, wherein R17 is (C10-C22) alkyl, (C6-C14) aryl optionally substituted by -O-(C10-C22) alkyl or by -NH-CO-(C10-C22) alkyl; or -NH-NH-CO-(C10-C22) alkyl;

(iv) –NH-(C10-C22) alkyl; and

(v) (C10-C22) alkenyl optionally substituted by oxo;

wherein any "(C10-C22) alkyl" as defined in R2, R4, R9 and R10 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, -(C2-C32) alkenyl and -(C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

7. The pharmaceutical composition according to claim 6 comprising a compound of formula Ib, wherein

R2 is selected from the group consisting of:

(i) H;

(ii) Cl;

(iii) -OH;

(iv) $-OC_{18}H_{37}$;

(v) -COOH;

(vi) -NR9R'9, wherein R9 is H or methyl and R'9 is $-C_{18}H_{37}$; and

(vii) phenoxy optionally substituted by one or more -COOH or $-CO-NH_2$;

R3 is H or -COOH;

R4 is selected from the group consisting of:

(i) H;

(ii) $-SO_3H$

(iii) phenoxy optionally substituted by one or more -COOH;

(iv) phenylthio optionally substituted by one or more -COOH; and

(v) -NR9-CO-R'9, wherein R9 and R'9 each independently is H or $-C_{17}H_{35}$;

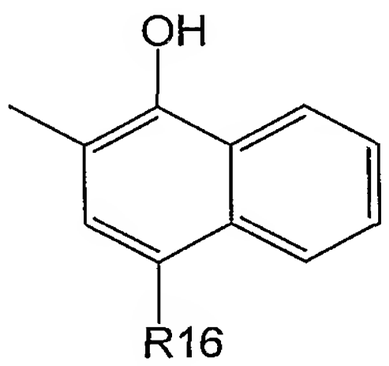
R5 is H, -COOH, $-SO_3H$, $-NHSO_2$-phenyl optionally substituted by one or more -COOH;

R6 is H;

R9 is H or $-C_{18}H_{37}$;

R10 is selected from the group consisting of:

(i) $-C_{17}H_{35}$, optionally substituted by one or more radicals selected from the group consisting of Cl, -OH, epoxy and epithio;

(ii) 

wherein R16 is H, Br, -COOH, $-SO_3H$, -S-tetrazol-5-yl optionally substituted by phenyl, or –N=N-phenyl optionally substituted by one or more radicals selected from the group consisting of Cl, methyl, phenyl, -OH, -COOH, -COOR'9, -OR'9 and $-NHSO_2R'9$, wherein R'9 is methyl or phenyl optionally substituted by methyl;

(iii) $-CH_2$-CO-R17, wherein R17 is selected from the group consisting of $-C_{17}H_{35}$, $-C_{18}H_{35}$, phenyl optionally substituted by $-OC_{18}H_{37}$ or by -NH-CO-(C15-C20) alkyl, preferably $-NH-CO-C_{17}H_{35}$, and -NH-NH-CO-(C15-C20) alkyl, preferably $-NH-NH-CO-C_{17}H_{35}$;

(iv) $-NH-C_{18}H_{37}$; and

(v) (C16-C20) alkenyl, preferably $-C_{17}H_{33}$ or $-C_{16}H_{31}$, optionally substituted by oxo.

8. The pharmaceutical composition according to claim 7 comprising a compound wherein R10 is $-C_{17}H_{35}$, selected from the compounds herein designated **Compounds Nos. 61**, **87**, **92**, **93**, **95** and **96**.

9. The pharmaceutical composition according to claim 7 comprising a compound wherein R10 is 1-hydroxy-4-R18-2-naphthyl, selected from the group of compounds herein designated **Compounds Nos. 3**, **33**, **34**, **40**, **41**, **43**, **45**, **46**, **47**, **49**, **50**, **52**, **53**, **55**, **62**, **63** and 77.

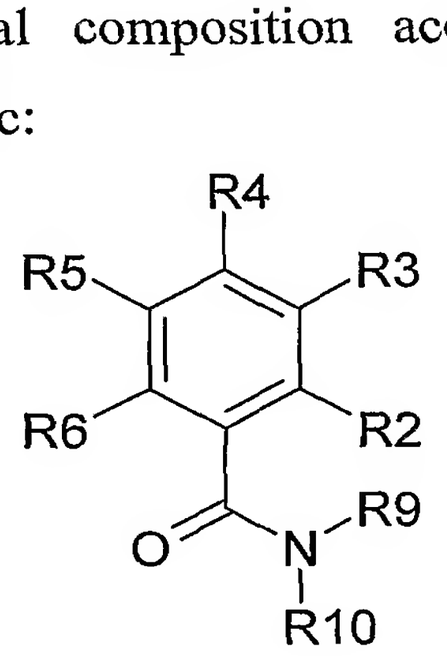
10. The pharmaceutical composition according to claim 7 comprising a compound wherein R10 is $-CH_2-CO-R17$, selected from the group of compounds herein designated **Compounds Nos. 2**, **23**, **44**, **51**, **60** and **64**.

11. The pharmaceutical composition according to claim 7 comprising the compound herein designated **Compound No. 70,** wherein R10 is $-NH-C_{18}H_{37}$.

12. The pharmaceutical composition according to claim 7 comprising a compound wherein R10 is (C10-C22) alkenyl, selected from the compounds herein designated **Compounds Nos. 86** and **94.**

13. The pharmaceutical composition according to claim 1 comprising a compound of the formula Ic:

[Ic]



wherein

R2, R3, R4, R5, and R6 each independently represents hydrogen, halogen, nitro, (C1-C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl, -OR9', -SR9', -

NR9R'9, $-(CH_2)_n$-NR9-COR'9, -COR'9, -COOR'9, $-(CH_2)_n$-CO-N(R9)(R'9); $-SO_3$R'9, $-SO_2$R'9, or $-NHSO_2$R'9;

or R3 and R4 together with the carbon atoms to which they are attached form a condensed benzene ring;

R9 is H or (C1-C32) alkyl and R'9 is H, (C1-C32) alkyl, (C2-C32) alkenyl or (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms;

R10 is

(i) (C10-C22) alkyl; or

(ii) $-(CH_2)_n$-NH-CO-R9-O-R'9, wherein R9 is (C1-C6) alkyl, R'9 is (C6-C14) aryl substituted by $-C_{15}H_{31}$; and n is an integer of 1 to 6;

and wherein the "(C1-C32) alkyl" and "(C2-C32) alkenyl"as defined in R2 to R6 and R9 and the "(C10-C22) alkyl" as defined in R10 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, COOR'9, $-OSO_3$R'9, $-SO_3$R'9, $-SO_2$R'9, $-NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3$R9R'9, $-PO_2$HR'9 and $-PO_3$R9R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10;

and wherein any "(C6-C14) aryl" as defined in R2 to R6 and R9 may be substituted by one or more radicals selected from the group consisting of halogen,

(C6-C14) aryl, (C1-C32) alkyl, nitro, OR'9, SR'9, -COR'9, COOR'9, -SO_3R'9, -SO_2R'9, -NHSO_2R'9, -NR9R'9, -$(CH_2)_n$-NR9-COR'9, and -$(CH_2)_n$-CO-NR9R'9.

14. The pharmaceutical composition according to claim 13 comprising a compound of formula Ic, wherein

R2 is OH;

R3 and R4 together with the carbon atoms to which they are attached form a condensed benzene ring;

R5 is H or -SO_3H;

R6 and R9 each is H; and

R10 is

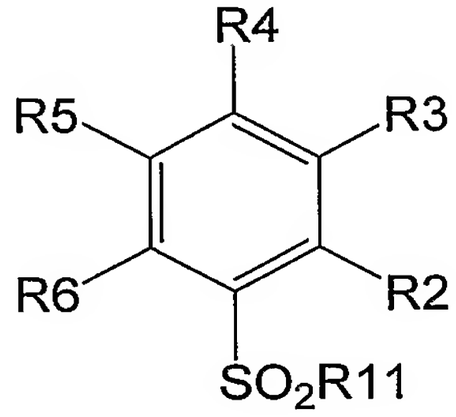
(i) -$C_{18}H_{37}$; or

(iii) -$(CH_2)_n$-NH-CO-R9-O-R'9, wherein R9 is -CH(C_2H_5) and R'9 is phenyl substituted by -$C_{15}H_{31}$; and n is 3.

15. The pharmaceutical composition according to claim 14 comprising the compound herein designated **Compound No. 31 or No. 72**.

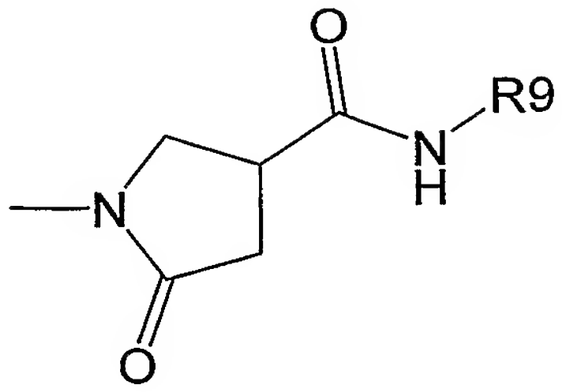
16. The pharmaceutical composition according to claim 1 comprising a compound of the formula Id:

[Id]



wherein R2 is H;

R3 is H, -COOH, -NH_2, or


, wherein R9 is (C10-C22) alkyl;

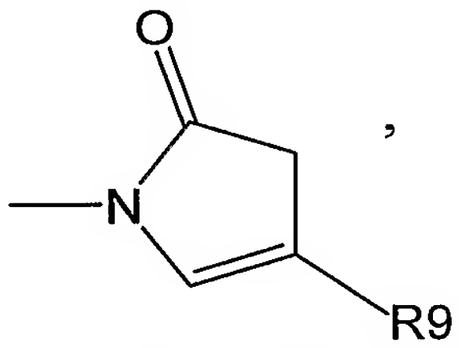
R4 is selected from the group consisting of:

(i) H;

(ii) -O-(C10-C22) alkyl;

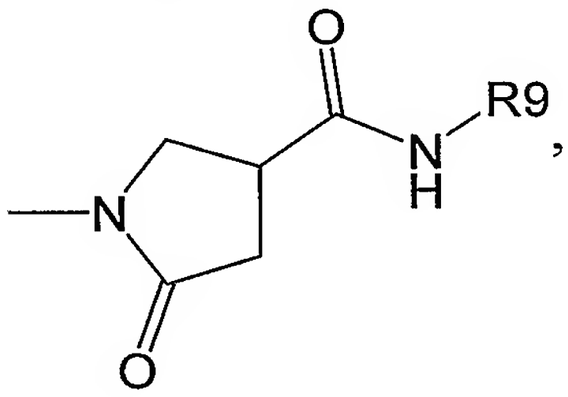
(iii) -NH-(C10-C22) alkyl;

(iv) $-SO_2$-(C10-C22) alkyl;

(v) 
,

wherein R9 is (C10-C22) alkyl; and

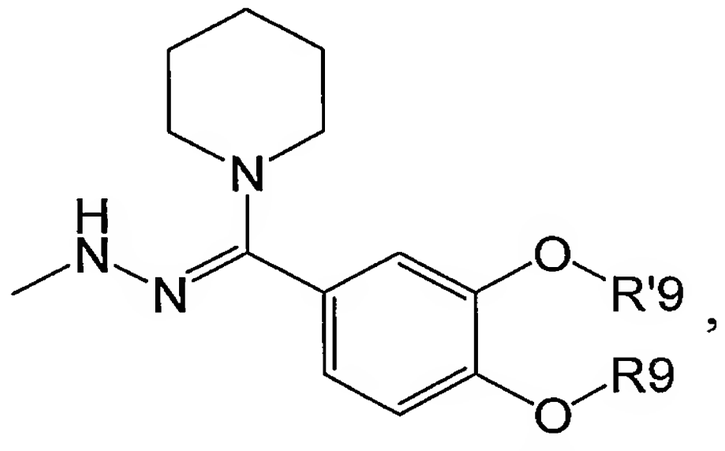
(vi) phenoxy optionally substituted by

$-SO_3H$ or 
, or both;

wherein R9 is (C10-C22) alkyl;

R5 is H, -COOH or $-NH_2$;

R6 is H or phenoxy optionally substituted by halogen, -COOH or $-CONH_2$;

R11 is OH or 
,

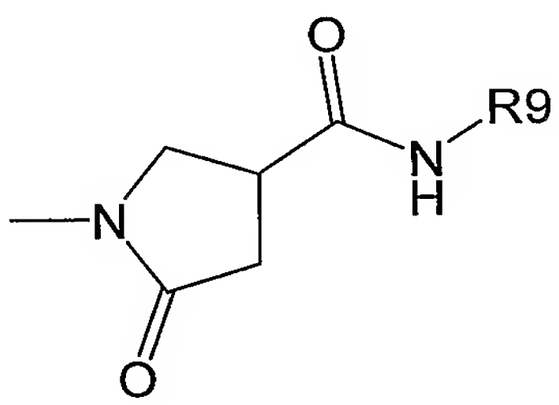
wherein R9 is (C10-C22) alkyl and R'9 is (C1-C6) alkyl;

wherein any "(C10-C22) alkyl" as defined in R4 and R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

17. The pharmaceutical composition according to claim 16 comprising a compound of formula Id, wherein

R2 is H;

R3 is H, -COOH, $-NH_2$ or



, wherein R9 is $-C_{18}H_{37}$;

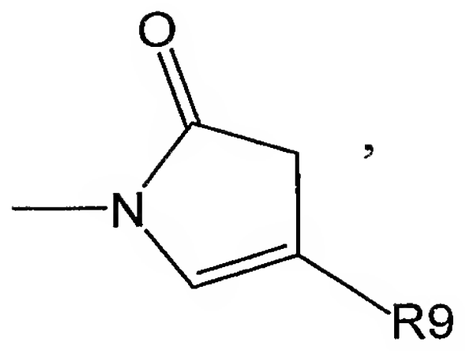
R4 is selected from the group consisting of:

(i) H;

(ii) $-O-C_{16}H_{33}$;

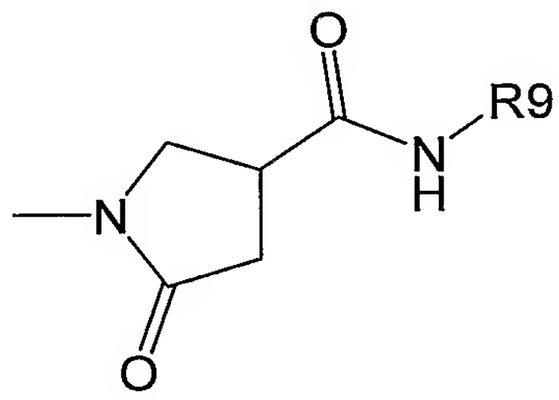
(iii) $-NH-C_{19}H_{39}$;

(iv) $-SO_2-C_{16}H_{33}$;

(v)  ,

wherein R9 is $-C_{15}H_{31}$; and

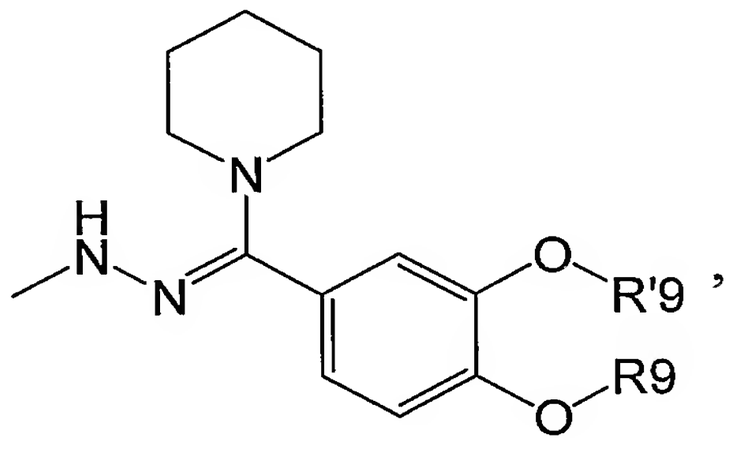
(vi) phenoxy, optionally substituted by

$-SO_3H$ or  , or both;

wherein R9 is $-C_{18}H_{37}$;

R5 is H, -COOH, or $-NH_2$;

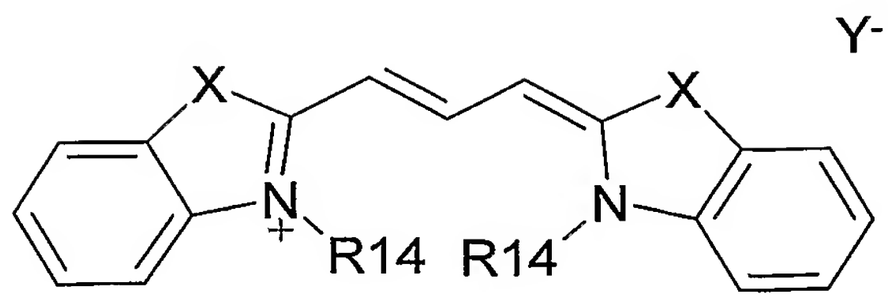
R6 is H or phenoxy optionally substituted by halogen, -COOH or $-CONH_2$; and

R11 is OH or  ,

wherein R9 is $-C_{16}H_{33}$ and R'9 is methyl.

18. The pharmaceutical composition according to claim 17 comprising a compound selected from the compounds herein designated **Compounds Nos. 75, 76, 88, 89, 101, 103, 104, 105, 106** and **107**.

19. The pharmaceutical composition according to claim 1 comprising a compound of the formula Ie:

[Ie] 

wherein

X is O or S;

R14 is (C10-C22) alkyl; and

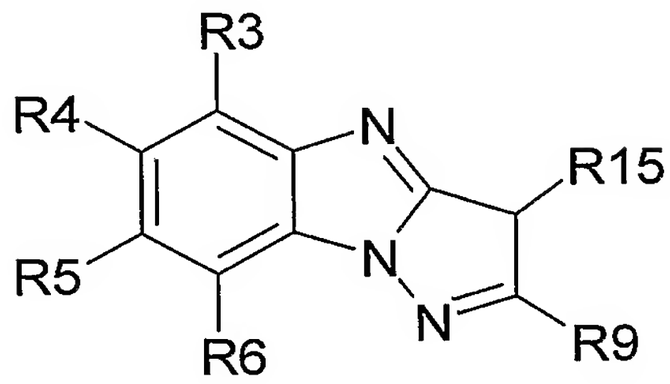
Y^- is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

and wherein the "(C10-C22) alkyl" as defined in R14 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl, preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

20. The pharmaceutical composition according to claim 19 comprising a compound of formula Ie, wherein X is O or S; R14 is $-C_{18}H_{37}$; and Y^- is perchlorate.

21. The pharmaceutical composition according to claim 20 comprising the **Compound No. 66** or **67**.

22. The pharmaceutical composition according to claim 1 comprising a compound of the formula If:

[If] 

wherein

R3 and R5 each is H;

R4 is H, -COOH or -SO_3H;

R6 is H or -COOH;

R9 is H or (C10-C22) alkyl; and

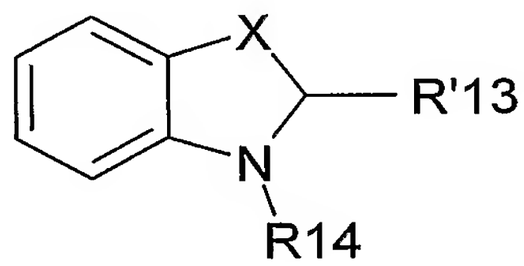
R15 is H or -SO_3H;

and wherein the "(C10-C22) alkyl" as defined in R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -OPO_3R9R'9, -PO_2HR'9 and -PO_3R9R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

23. The pharmaceutical composition according to claim 22 comprising a compound of formula If, wherein R3 and R5 are H; R6 is H or -COOH; R4 is H, COOH or -SO_3H; R9 is H or - $C_{17}H_{35}$; and R15 is H or -SO_3H.

24. The pharmaceutical composition according to claim 23 comprising a compound selected from the compounds herein designated **Compounds Nos. 4, 35** and **36.**

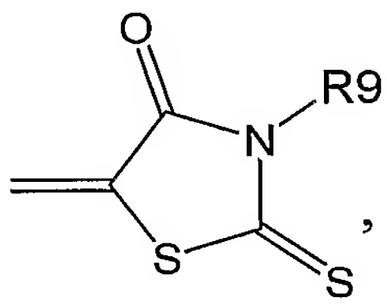
25. The pharmaceutical composition according to claim 1 comprising a compound of the formula Ig:

[Ig] 

wherein

X is NR12 or CR'12R''12;

R12 is (C10-C22) alkyl;

R'12 and R''12 each is (C1-C6) alkyl, or R'12 and R''12 together are a radical 

,

wherein R9 is H or (C10-C22) alkyl substituted by -COOH;

R'13 is selected from the group consisting of =O, =NH and =N-NH-SO_2-(C6-C14) aryl, wherein the aryl is either substituted by -COOH and -O-(C10-C22) alkyl, or by -NH-SO_2-phenyl, wherein the phenyl is substituted by-COOH and -O-(C10-C22) alkyl; and

R14 is (C1-C8) alkyl or -CH_2-CH(OH)-(C6-C14) aryl substituted by one or more (C1-C6) alkoxy;

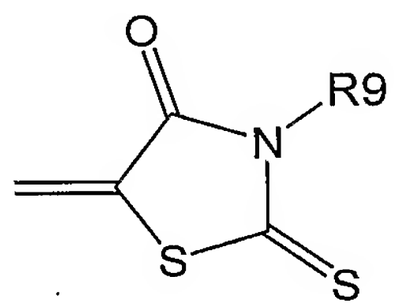
wherein any "(C10-C22) alkyl" as defined in R12 and R'13 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl, preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

26. The pharmaceutical composition according to claim 25 comprising a compound of formula Ig, wherein

X is NR12 or CR'12R''12;

R12 is $-C_{16}H_{33}$;

R'12 and R''12 each is methyl, or R'12 and R''12

together are a radical 

,

wherein R9 is H or $-C_{10}H_{20}$-COOH;

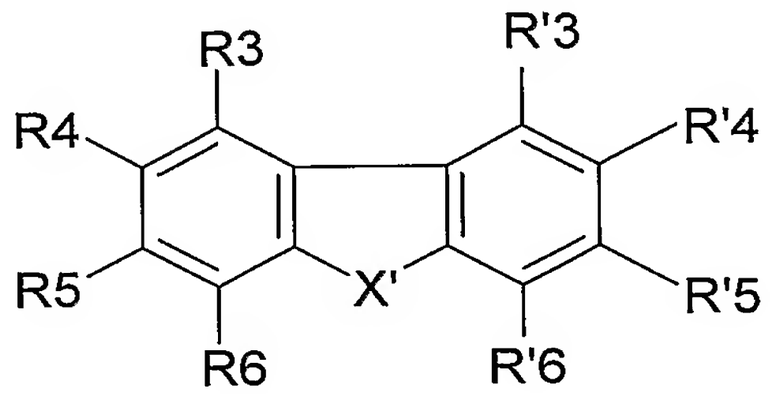
R'13 is =O, =NH or =N-NH-SO_2-phenyl, wherein the phenyl is either substituted by -COOH and $-OC_{18}H_{37}$, or by -NH-SO_2-phenyl, wherein the phenyl is substituted by -COOH and $-OC_{18}H_{37}$; and

R14 is methyl or ethyl, or $-CH_2$-CH(OH)-phenyl substituted by one or more methoxy groups.

27. The pharmaceutical composition according to claim 26 comprising a compound selected from the compounds **Compounds Nos. 48, 59 65** and **82.**

28. The pharmaceutical composition according to claim 1 comprising a compound of the formula Ih:

[Ih]



wherein

X' is O or NR14;

R3, R4, R5, R'3 and R'5 each is H or halogen;

R'4 is H, halogen or (C10-C22) alkenyl;

R6 and R'6 each is H or -COOH; and

R14 is (C10-C22) alkyl interrupted by one or more N atoms and substituted by hydroxy;

and wherein the "(C10-C22) alkenyl" as defined in R'4 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO3R'9, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring,

optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

29. The pharmaceutical composition according to claim 28 comprising a compound of formula Ih, wherein

X' is O or NR14;

R3, R4, R5, R'3 and R'5 each is H, Cl or Br;

R'4 is selected from the group consisting of H, Cl, Br and $-C_{20}H_{39}$;

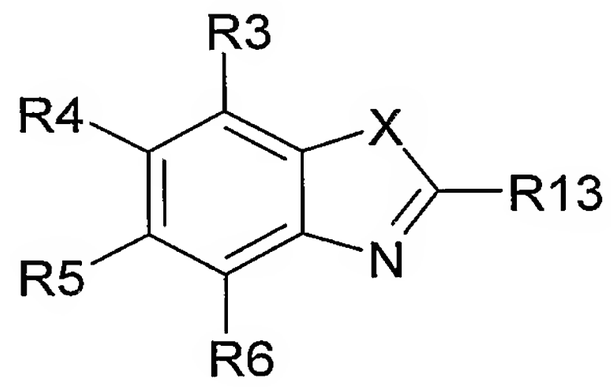
R6 and R'6 each is - H or -COOH; and

R14 is $C_{10}H_{21}$-NH-CH_2-CH(OH)-CH_2- or $C_{18}H_{37}$-NH-CH_2-CH(OH)-CH_2-.

30. The pharmaceutical composition according to claim 29 comprising a compound selected from the compounds herein designated **Compounds Nos. 68, 90 and 91**.

31. The pharmaceutical composition according to claim 1 comprising a compound of the formula Ii:

[Ii]



wherein

X is O, S or NR12;

R4 is H or $-SO_3H$;

R6 is H;

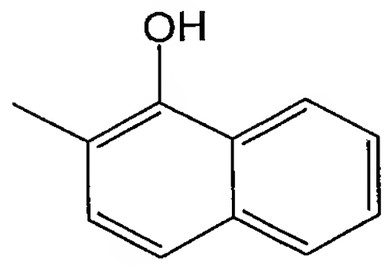
R3 is H or -COOH;

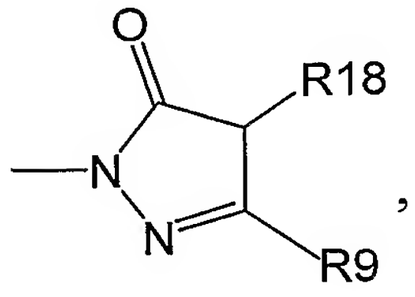
R5 is H, -COOH or $-SO_3H$;

R12 is H or (C10-C22) alkyl;

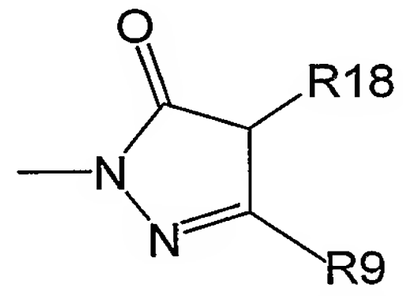
R13 is selected from the group consisting of:

(i) (C1-C6) alkyl;

(ii)  ;

(iii)  ,

wherein R9 is (C10-C22) alkyl and R18 is H or =N-(C6-C14) aryl wherein the aryl is optionally substituted by -NR9R'9, wherein R9 and R'9 each is (C1-C6) alkyl;

(iv) (C6-C14) aryl, optionally substituted by  ,

wherein R9 is (C10-C22) alkyl and R18 is =N-(C6-C14) aryl, wherein the aryl is optionally substituted by -NR9R'9, wherein R9 and R'9 each is (C1-C6) alkyl; and

(v) -N=CH-(C6-C10) aryl substituted by one or more halogen and -OH or by one or more -OH and nitro;

wherein any "(C10-C22) alkyl" as defined in R12 and R13 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form

together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

32. The pharmaceutical composition according to claim 31 comprising a compound of formula Ii, wherein

X is O, S or NR12;

R4 is H or -SO_3H;

R6 is H;

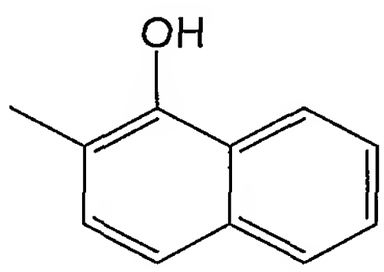
R3 is H or -COOH;

R5 is H, -COOH or –SO_3H;

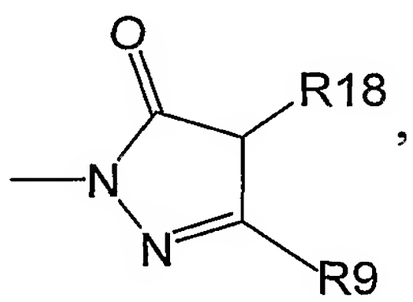
R12 is H, -$C_{16}H_{33}$ or -$C_{18}H_{37}$;

R13 is selected from the group consisting of:

(i) methyl;

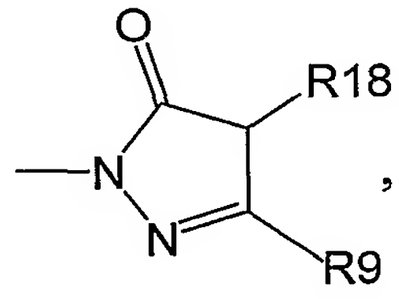
(ii) 

;

(iii) 

,

wherein R9 is -$C_{17}H_{35}$ and R18 is H or =N-phenyl, wherein the phenyl is optionally substituted by -NR9R'9, wherein R9 and R'9 each is ethyl;

(iv) phenyl optionally substituted by 

,

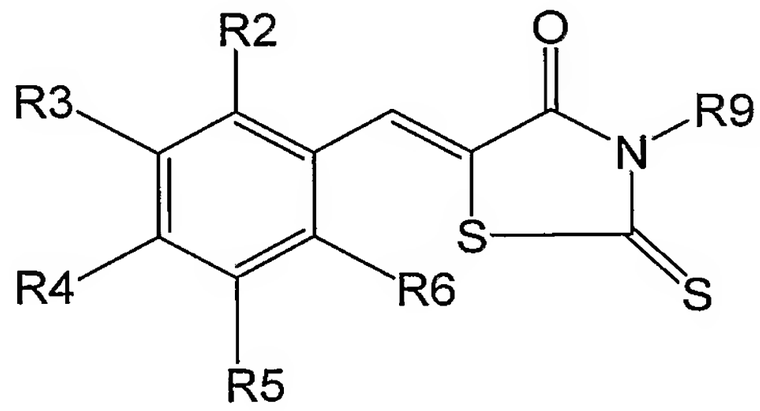
wherein R9 is -$C_{17}H_{35}$ and R18 is =N-phenyl, wherein the phenyl is optionally substituted by -NR9R'9, wherein R9 and R'9 each is ethyl; and

(v) -N=CH-phenyl optionally substituted by -OH and one or more Cl or Br, or naphthyl optionally substituted by -OH or nitro, or both.

33. The pharmaceutical composition according to claim 32 comprising a compound selected from the compounds herein designated **Compounds Nos. 37, 38, 39, 42, 57, 58, 73** and **102**.

34. The pharmaceutical composition according to claim 1 comprising a compound of the formula Ij:

[Ij]



wherein

R2, R4, R5 and R6 each is H;

R3 is H or halogen; and

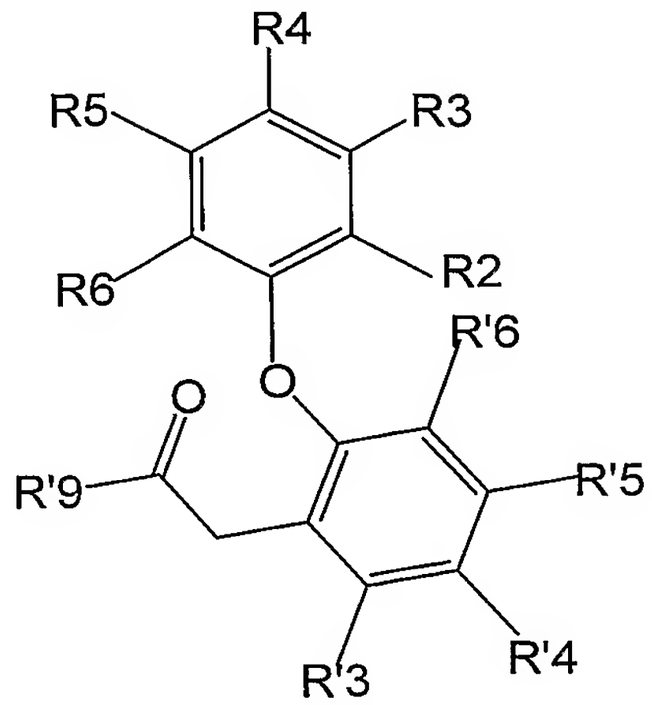
R9 is H or (C10-C22) alkyl substituted by -COOH.

35. The pharmaceutical composition according to claim 34 comprising a compound of formula Ij, wherein R2, R4, R5 and R6 each is H; R3 is H or Br; and R9 is H or -$C_{10}H_{20}$-COOH.

36. The pharmaceutical composition according to claim 35 comprising the compound herein designated **Compound No. 81.**

37. The pharmaceutical composition according to claim 1 comprising a compound of the formula Ik:

[Ik]



wherein

R2, R4, R6, R'3, R'5 and R'6 each is H;

R3, R5 and R'4 each is H or -COOH; and

R'9 is (C10-C22) alkenyl optionally substituted by OH and $-CF_3$;

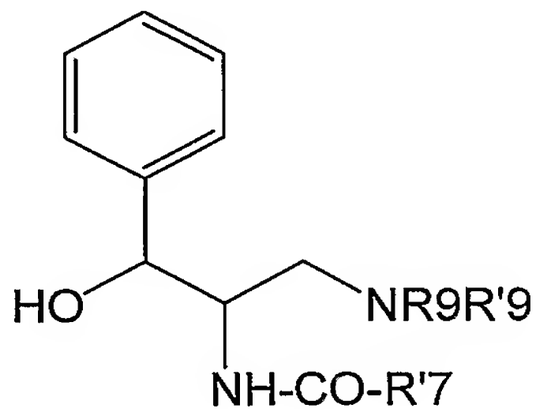
and wherein the "(C10-C22) alkenyl" as defined in R'9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

38. The pharmaceutical composition according to claim 37 comprising a compound of formula Ik, wherein R2, R4, R6, R'3, R'5 and R'6 each is H; R3, R5 and R'4 each is –COOH; and R'9 is $C_{17}H_{31}$ optionally substituted by OH and -CF_3.

39. The pharmaceutical composition according to claim 38 comprising the compound herein designated **Compound No. 98**.

40. The pharmaceutical composition according to claim 1 comprising a compound of the formula Il:

[II]



wherein

R'7 is (C10-C22) alkyl; and

R9 and R'9 together with the N atom to which they are attached form a 3-7 membered saturated ring, optionally containing a further O, N or S atom;

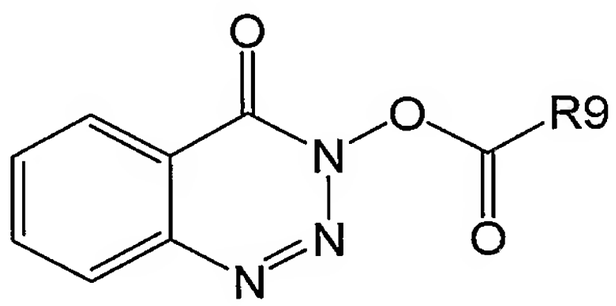
and wherein any "(C10-C22) alkyl" as defined in R'7, may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -OPO_3R9R'9, -PO_2HR'9 and -PO_3R9R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring,

optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

41. The pharmaceutical composition according to claim 40 comprising a compound of formula Il, wherein R'7 is (C10-C22) alkyl and R9 and R'9 together with the N atom to which they are attached form a morpholine ring.

42. The pharmaceutical composition according to claim 41 comprising the compound herein designated **Compound No. 74.**

43. The pharmaceutical composition according to claim 1 comprising a compound of the formula Im:

[Im] 

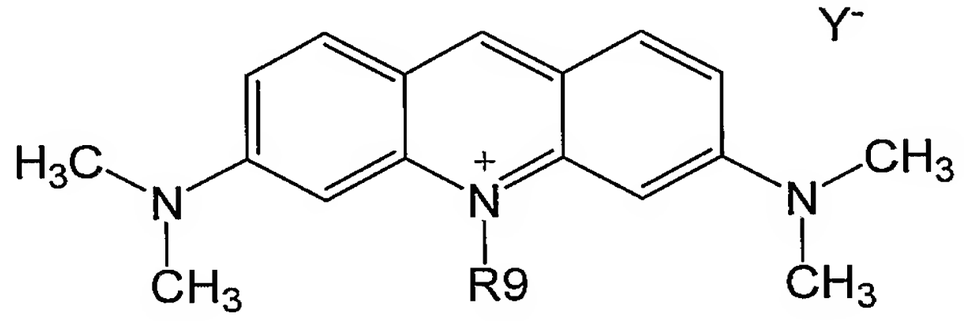
wherein

R9 is (C10-C22) alkyl, or (C10-C22) alkyl interrupted by one or more heteroatoms selected from the group consisting of O, S and N, or (C10-C22) alkyl substituted or both interrupted and substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

44. The pharmaceutical composition according to claim 43 comprising a compound of formula Im, wherein R9 is $-C_{17}H_{33}$ optionally substituted by epoxy.

45. The pharmaceutical composition according to claim 44 comprising the compound herein designated **Compound No. 99.**

46. The pharmaceutical composition according to claim 1 comprising a compound of the formula In:

[In] 

wherein

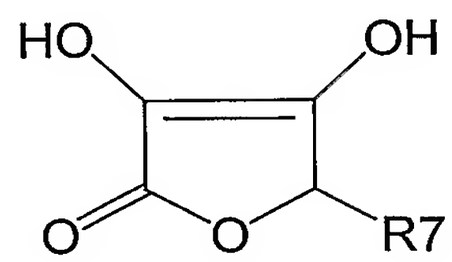
R9 is (C10-C22) alkyl; and

Y^- is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

and wherein the "(C10-C22) alkyl" as defined in R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or -(C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

47. The pharmaceutical composition according to claim 46, comprising the compound herein designated **Compound No. 79**, wherein R9 is $-C_{18}H_{37}$ and Y^- is bromide.

48. The pharmaceutical composition according to claim 1 comprising a compound of the general formula II:

II 

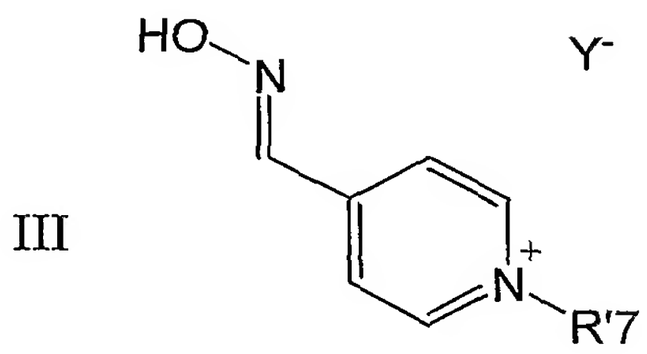
wherein

R7 is –CH(OH)-CH_2-O-CO-R9 and R9 is (C10-C22) alkyl;

and wherein the "(C10-C22) alkyl" as defined in R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

49. The pharmaceutical composition according to claim 48, comprising the compound herein designated **Compound No. 78**, wherein R7 is -CH(OH)-CH_2-O-CO-R9 and R9 is $-C_{15}H_{31}$.

50. The pharmaceutical composition according to claim 1 comprising a compound of the general formula III:



III

wherein

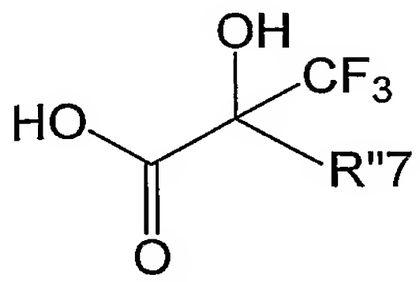
R'7 is (C10-C22) alkyl; and

Y^- is a counter ion selected from the group consisting chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

and wherein the "(C10-C22) alkyl" as defined in R'7 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

51. The pharmaceutical composition according to claim 50, comprising the compound herein designated **Compound No. 80**, wherein R'7 is $-C_{16}H_{33}$, and Y^- is bromide.

52. The pharmaceutical composition according to claim 1 comprising a compound of the general formula IV:

IV 

wherein R''7 is (C2-C32) alkenyl, that may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

53. The pharmaceutical composition according to claim 52 comprising the compound herein designated **Compound No. 97**, wherein R''7 is $-C_{16}H_{31}$.

54. The pharmaceutical composition according to any one of claims 1 to 53 for inhibition of angiogenesis.

55. The pharmaceutical composition according to any one of claims 1 to 53 for treatment or inhibition of a malignant cell proliferative disease or disorder.

56. The pharmaceutical composition according to claim 55 for the treatment or inhibition of non-solid cancers, e.g. hematopoietic malignancies such as all types of leukemia, e.g. acute lymphocytic leukemia (ALL), acute myelogenous leukemia (AML), chronic lymphocytic leukemia (CLL), chronic myelogenous leukemia (CML), myelodysplastic syndrome (MDS), mast cell leukemia, hairy cell leukemia, Hodgkin's disease, non-Hodgkin's lymphomas, Burkitt's lymphoma and multiple myeloma.

57. The pharmaceutical composition according to claim 55 for the treatment or inhibition of solid tumors such as tumors in lip and oral cavity, pharynx, larynx, paranasal sinuses, major salivary glands, thyroid gland, esophagus, stomach, small intestine, colon, colorectum, anal canal, liver, gallbladder, extrahepatic bile ducts, ampulla of vater, exocrine pancreas, lung, pleural mesothelioma, bone, soft tissue sarcoma, carcinoma and malignant melanoma of the skin, breast, vulva, vagina, cervix uteri, corpus uteri, ovary, fallopian tube, gestational trophoblastic tumors, penis, prostate, testis, kidney, renal pelvis, ureter, urinary bladder, urethra, carcinoma of the eyelid, carcinoma of the conjunctiva, malignant melanoma of the conjunctiva, malignant melanoma of the uvea, retinoblastoma, carcinoma of the lacrimal gland, sarcoma of the orbit, brain, spinal cord, vascular system, hemangiosarcoma and Kaposi's sarcoma.

58. The pharmaceutical composition according to claim 56 or 57 for treating or inhibiting tumor formation, primary tumors, tumor progression or tumor metastasis.

59. The pharmaceutical composition according to any one of claims 1 to 53 for treatment of ophthalmologic disorders such as diabetic retinopathy and macular degeneration, particularly age-related macular degeneration.

60. The pharmaceutical composition according to any one of claims 1 to 53 for inhibiting or treating cell proliferative diseases or disorders such as psoriasis, hypertrophic scars, acne and sclerosis/scleroderma.

61. The pharmaceutical composition according to any one of claims 1 to 53 for inhibiting or treatment of a disease or disorder selected from polyps, multiple exostosis, hereditary exostosis, retrolental fibroplasia, hemangioma, reperfusion of gastric ulcer and arteriovenous malformation.

62. The pharmaceutical composition according to any one of claims 1 to 53, for contraception or for inducing abortion at early stages of pregnancy.

63. The pharmaceutical composition according to any one of claims 1 to 53, for treatment of, or amelioration of, inflammatory symptoms in any disease, condition or disorder where immune and/or inflammation suppression is beneficial.

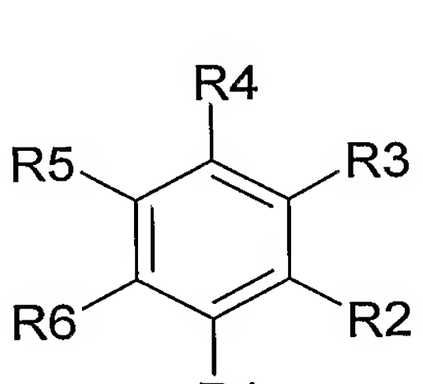
64. The pharmaceutical composition according to claim 63, for treatment of, or amelioration of, inflammatory symptoms in the joints, musculoskeletal and connective tissue disorders.

65. The pharmaceutical composition according to claim 63, for treatment of, or amelioration of, inflammatory symptoms associated with hypersensitivity, allergic reactions, asthma, atherosclerosis, otitis and other otorhinolaryngological diseases, dermatitis and other skin diseases, posterior and anterior uveitis, conjunctivitis, optic neuritis, scleritis and other immune and/or inflammatory ophthalmic diseases.

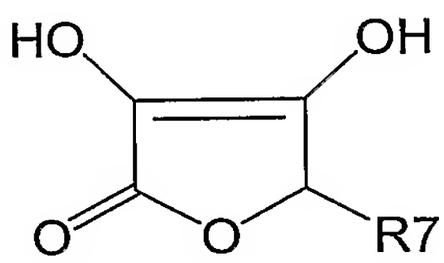
66. The pharmaceutical composition according to any one of claims 1 to 53, for treatment of, or amelioration of, an autoimmune disease.

67. The pharmaceutical composition according to claim 66, wherein said autoimmune disease is Eaton-Lambert syndrome, Goodpasture's syndrome, Grave's disease, Guillain-Barré syndrome, autoimmune hemolytic anemia (AIHA), hepatitis, insulin-dependent diabetes mellitus (IDDM), systemic lupus erythematosus (SLE), multiple sclerosis (MS), myasthenia gravis, plexus disorders e.g. acute brachial neuritis, polyglandular deficiency syndrome, primary biliary cirrhosis, rheumatoid arthritis, scleroderma, thrombocytopenia, thyroiditis e.g. Hashimoto's disease, Sjögren's syndrome, allergic purpura, psoriasis, mixed connective tissue disease, polymyositis, dermatomyositis, vasculitis, polyarteritis nodosa, polymyalgia rheumatica, Wegener's granulomatosis, Reiter's syndrome, Behçet's syndrome, ankylosing spondylitis, pemphigus, bullous pemphigoid, dermatitis herpetiformis, Crohn's disease or autism.

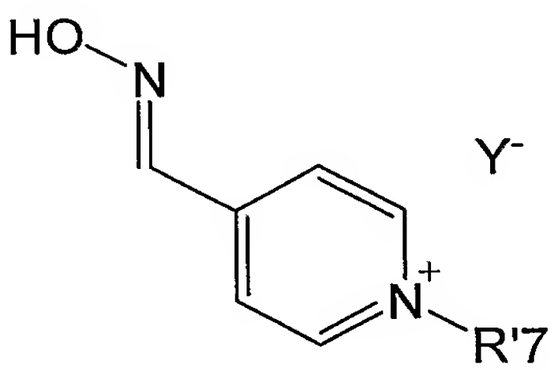
68. Use of a heparanase inhibitor for the preparation of a pharmaceutical composition for treatment of a disease or a disorder caused by or associated with heparanase catalytic activity, wherein said heparanase inhibitor is represented by the general formula I, II, III or IV:



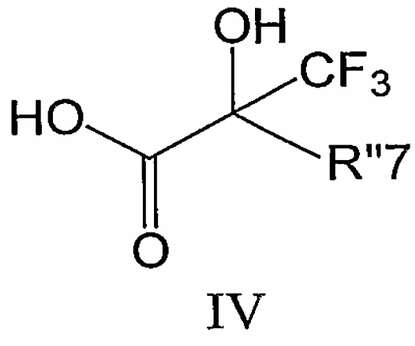
I



II



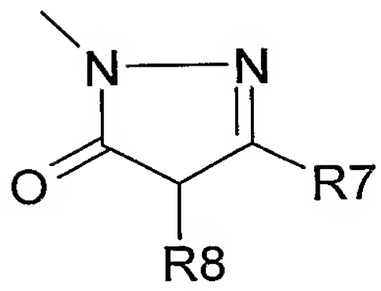
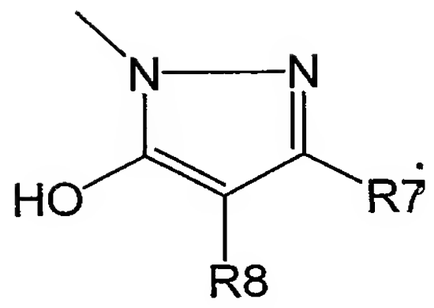
III



IV

wherein

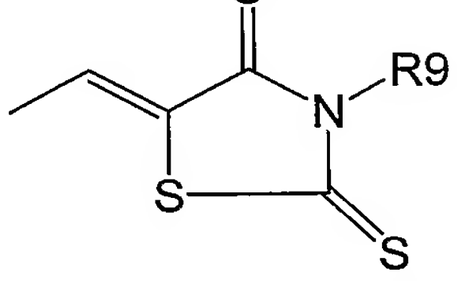
R1 is selected from the group consisting of:

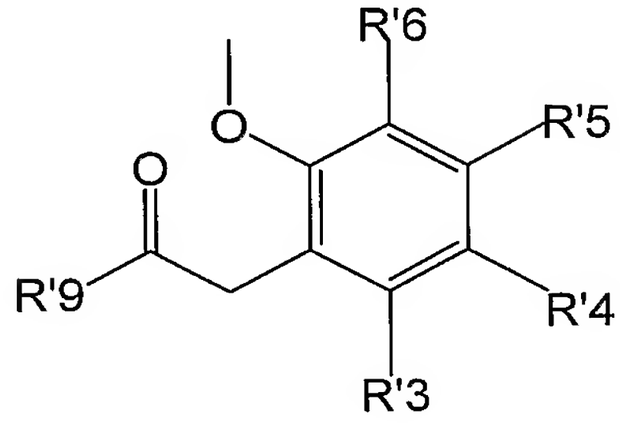
(i)  or the tautomer 

(ii) -N(R9)-CO(R10);

(iii) -CO- N(R9)(R10);

(iv) $-SO_2R11$;

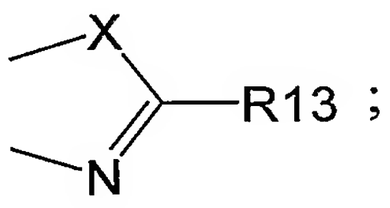
(v)  ;

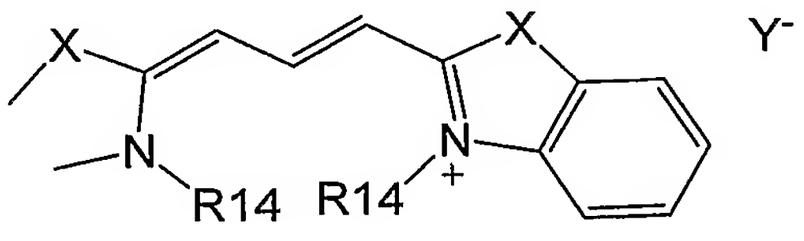
(vi)  ; and

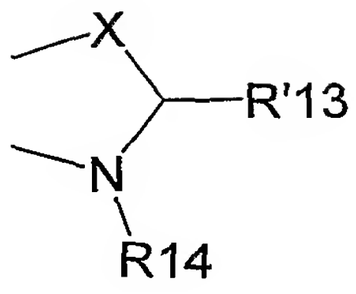
(vii) $-CH(OH)-CH(NH-CO-R'7)-CH_2NR9R'9$

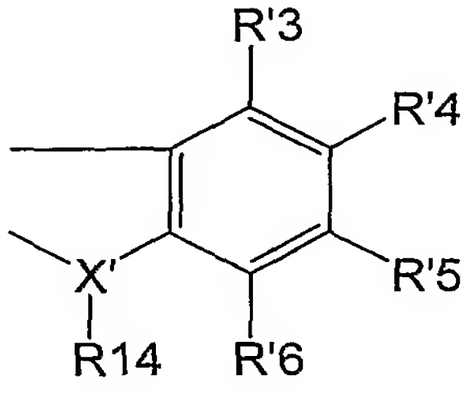
R2, R3, R4, R5, R6, R'3, R'4, R'5 and R'6 each independently represents hydrogen, halogen, nitro, (C1-C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl, -OR9', -SR9', -NR9R'9, $-(CH_2)_n$-NR9-COR'9, -COR'9, -COOR'9, $-(CH_2)_n$-CO-N(R9)(R'9); $-SO_3R'9$, $-SO_2R'9$, or $-NHSO_2R'9$;

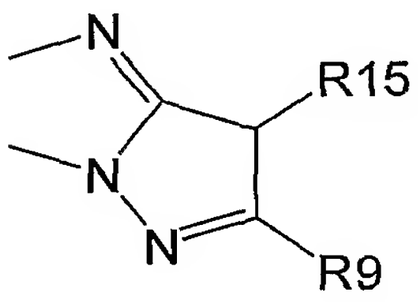
or R1 and R2 together are a moiety selected from the group consisting of:

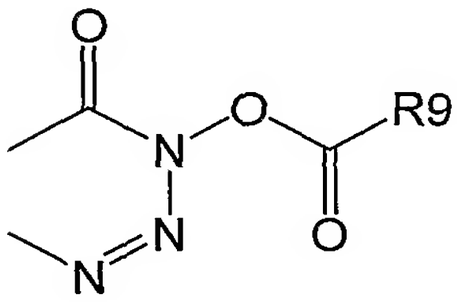
(i)  ;

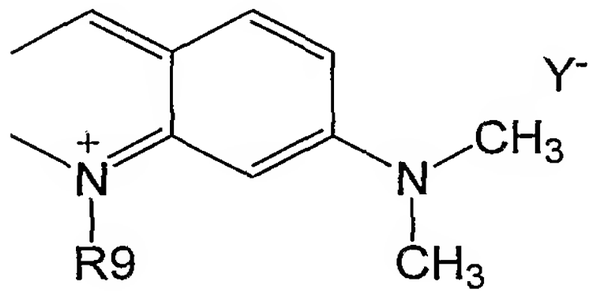
(ii)  ;

(iii)  ;

(iv)  ;

(v)  ;

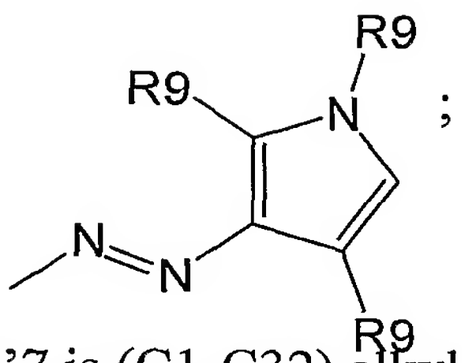
(vi)  ; and

(vii)  ;

wherein X is O, S, N(R12) or C(R'12, R''12) and X' is O or N;

or each pair of R2+R3, R3+R4, R4+R5 or R5+R6, together with the carbon atoms to which they are attached, form a 5- or 6-membered aromatic ring;

R7 is selected from the group consisting of H, halogen, (C1-C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl, -OR'9, -SR'9, -NR9R'9, -NR9-COR'9, -COR'9, -COOR'9, -CH(OH)-$(CH_2)_n$-O-CO-R9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-N(R9)(R'9), -SO_3R'9, -SO_2R'9, -NHSO_2R'9, -N=N-(C6-C14) aryl, and



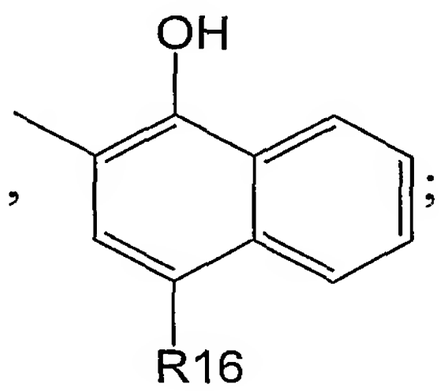
R'7 is (C1-C32) alkyl;

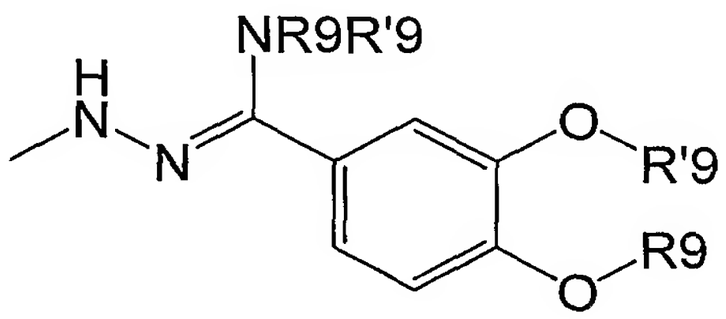
R''7 is (C2-C32) alkenyl;

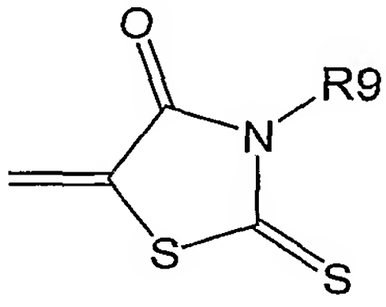
R8 is as defined for R7;

R9 is H or (C1-C32) alkyl and R'9 is H, (C1-C32) alkyl, (C2-C32) alkenyl or (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms;

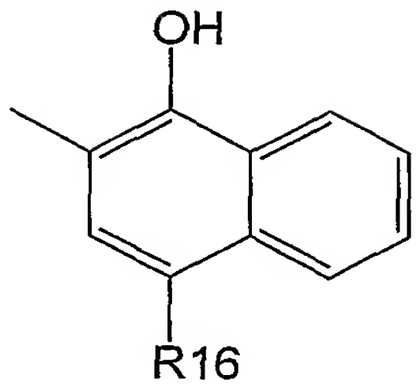
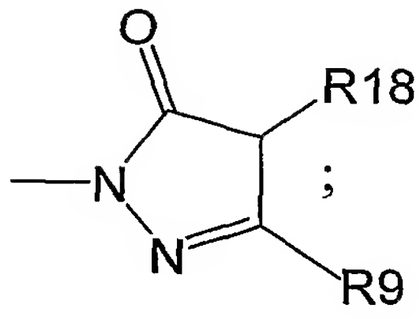
R10 is selected from the group consisting of (C1-C32) alkyl, (C2-C32) alkenyl, $-(CH_2)_n$-CO-R17, $-(CH_2)_n$-NH-CO-R9-O-R'9, and



R11 is OH or  ;

R12, R'12 and R''12 each is H or (C1-C32) alkyl, or R'12 and R''12 together are a radical  ;

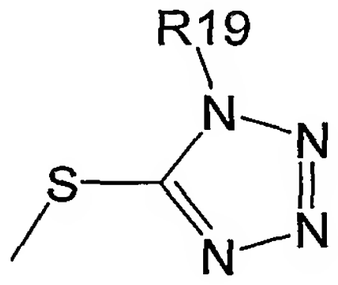
R13 is selected from the group consisting of (C1-C32) alkyl, (C6-C14)

aryl, -N=CH-(C6-C14)aryl,  and  ;

R'13 is =O, =NH or =N-NH-SO_2R'9;

R14 is H, (C1-C32) alkyl, -$(CH_2)_m$-CH(OH)- CH_2-NR9R'9 or -$(CH_2)_m$-CH(OH)-(C6-C14) aryl;

R15 is H or -SO_3H;

R16 is selected from the group consisting of H, halogen, -COOH, -SO_3H, -N=N-(C6-C14) aryl, and  ;

R17 is selected from the group consisting of (C1-C32) alkyl, (C6-C14) aryl, –NH-NH-CO-(C1-C32) alkyl, -NH-NH-CO-(C6-C14) aryl, -$(CH_2)_n$-NH-CO-C(R9)-O(C1-C32) alkyl, -$(CH_2)_n$-NH-CO-C(R9)-O(C6-C14) aryl, -$(CH_2)_n$-CO-(C1-C32) alkyl, and -$(CH_2)_n$-CO- (C6-C14) aryl;

R18 is H or =N-(C6-C14) aryl;

R19 is (C6-C14) aryl;

Y^- is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

n is 0 or an integer from 1 to 10; m is an integer from 1 to 10; and

any "(C1-C32) alkyl" or "(C2-C32) alkenyl" may be straight or branched and may be interrupted by one or more heteroatoms selected from O, S and/or N, and/or substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl, (C6-C14) aryl, nitro, OR'9, SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -OPO_3R9R'9, -PO_2HR'9 and –PO_3R9R'9;

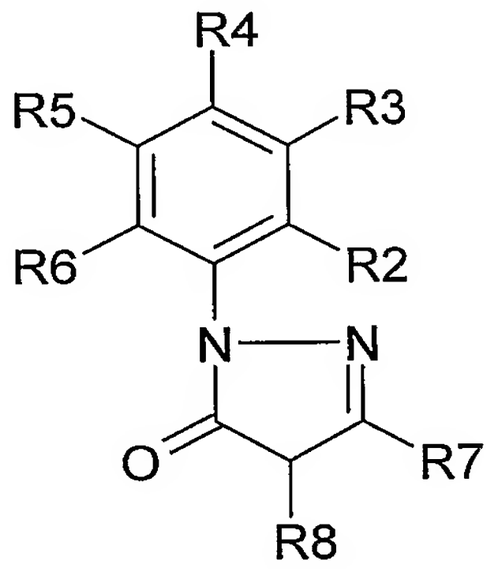
"heteroaryl" means a radical derived from a mono- or poly-cyclic heteroaromatic ring containing 1 to 3 heteroatoms selected from the group consisting of O, S and N; and

any "aryl" or "heteroaryl" may be substituted by one or more radicals selected from the group consisting of halogen, (C6-C14) aryl, (C1-C32)alkyl, nitro, -OR'9, -SR'9, -COR'9, COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, $-(CH_2)_n$-NR9-COR'9, and $-(CH_2)_n$-CO-NR9R'9;

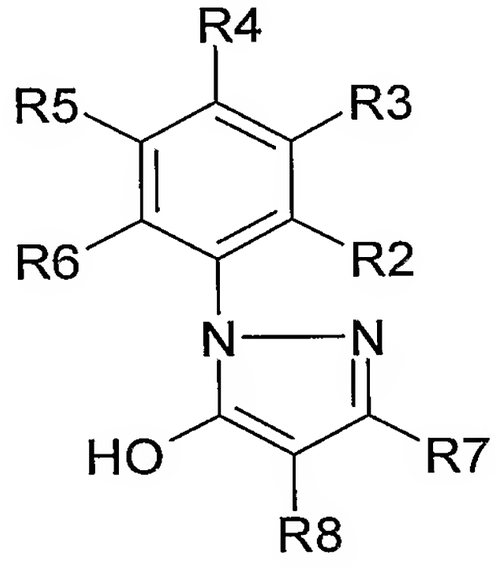
and pharmaceutically acceptable salts thereof.

69. The use according to claim 68 of a compound of the formula Ia or I'a:

[Ia]



[I'a]



wherein

R2 is H, halogen, $-NH_2$ or $-SO_3H$;

R3 is H or $-SO_3H$;

R4 is H, halogen, $-SO_3H$, $-SO_2$-(C10-C22) alkyl or -O(C6-C14) aryl, wherein the aryl is unsubstituted or substituted by -O(C1-C8) alkyl;

R5 is H; R6 is H or halogen;

R7 is selected from the group consisting of:

(i) H;

(ii) (C10-C22) alkyl;

(iii) -COOH;

(iv) -NR9-COR'9, wherein R9 is H and R'9 is (C10-C22) alkyl optionally substituted by epoxy, (C10-C22) alkenyl optionally

substituted by -COOH, or (C6-C14) aryl optionally substituted by $-SO_3H$ or -NH-CO-(C10-C22) alkyl; and

(v) (C6-C14) aryl optionally substituted by $-SO_3H$ or by -NR9-COR'9, wherein R9 is H and R'9 is (C10-C22) alkyl;

R8 is selected from the group consisting of:

(i) H;

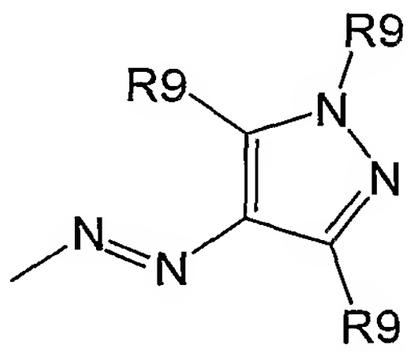
(ii) halogen;

(iii) (C2-C6) alkyl;

(iv) -O(C10-C22) alkyl;

(vii) (C6-C14) aryl optionally substituted by one or more halogen, -OR'9, -COOR'9, $-SO_3R'9$, -NR9R'9 or -NR9COR'9, wherein R9 and R'9 each independently is H or (C10-C22) alkyl;

(vi)



wherein R9 each independently is H or (C1-C12) alkyl; and

(vii) -N=N-(C6-C14) aryl optionally substituted by one or more halogen, -OR'9, -COOR'9, $-SO_3R'9$, $-NHSO_2R'9$, -NR9R'9, or -NR9-CO-R'9, wherein R9 and R'9 each independently is H or (C1-C6) alkyl, or R'9 is (C6-C14) aryl substituted by methyl;

wherein any "(C10-C22) alkyl" as defined in R4, R7 and R8 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl

and R'9 is H, (C1-C32) alkyl, (C2-C32) alkenyl or (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

70. The use according to claim 69 of a compound of formula Ia or I'a, wherein

R2 is H, Cl, $-NH_2$, or $-SO_3H$;

R3 is H or $-SO_3H$;

R4 is H, Cl, $-SO_3H$, $-SO_2C_{16}H_{33}$ or phenoxy optionally substituted by ethoxy;

R5 is H, -COOH or $-SO_3H$;

R6 is H or Cl;

R7 is selected from the group consisting of:

(i) H;

(ii) (C17-C20) alkyl;

(iii) -COOH;

(iv) -NR9-COR'9, wherein R9 is H and R'9 is (C11-C20) alkyl optionally substituted by epoxy, (C16-C20) alkenyl optionally substituted by –COOH, or phenyl optionally substituted by $–SO_3H$ or $–NH-CO-C_{17}H_{35}$;

(v) phenyl, optionally substituted by $-SO_3H$ or by -NR9-COR'9, wherein R9 is H and R'9 is (C17-C20) alkyl; and

R8 is selected from the group consisting of:

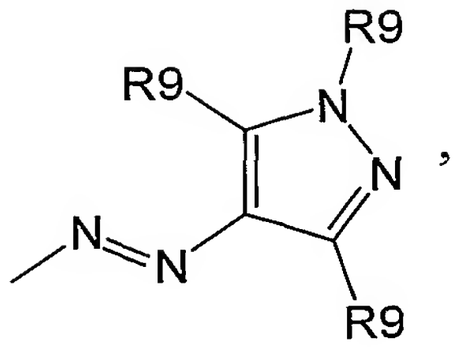
(i) H;

(ii) Br;

(iii) isopropyl;

(iv) $-OC_{16}H_{33}$;

(v) phenyl, optionally substituted by one or more halogen, -OR'9, -COOR'9, $-SO_3R'9$, -NR9R'9 or -NR9COR'9, wherein R9 and R'9 each independently is H or $-C_{16}H_{33}$;

(vi) 

,

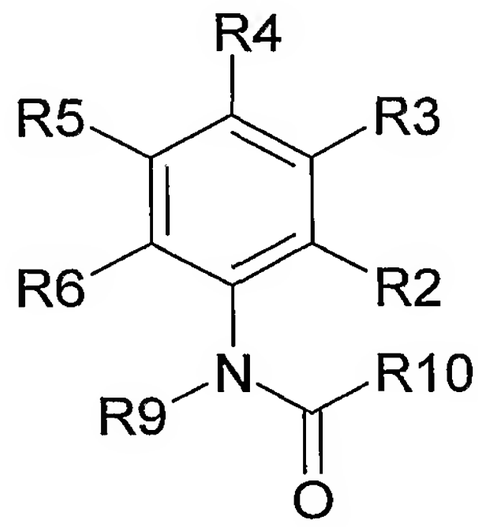
wherein R9 each independently is H, methyl or decenyl; and

(vii) –N=N-phenyl optionally substituted by one or more Cl, -OR'9, -COOR'9, -SO_3R'9, -$NHSO_2$R', -NR9R'9, or -NR9-CO-R'9, wherein R9 and R'9 each independently is H, methyl or ethyl, or R'9 is phenyl substituted by methyl.

71. The use according to claim 70 of a compound of formula Ia selected from the compounds herein designated **Compounds Nos. 1, 5-22, 24-30, 54, 56, 69, 71, 83, 84, 85** and **100**.

72. The use according to claim 70 of the compound of the formula I'a herein designated **Compound No. 32**.

73. The use according to claim 68 of a compound of the formula Ib:

[Ib] 

wherein

R2 is selected from the group consisting of:

(i) H;

(ii) halogen;

(iii) -OH;

(iv) -O(C10-C22) alkyl;

(v) -COOH;

(vi) -NR9R'9, wherein R9 and R'9 each independently is H, or R9 is (C1-C6) alkyl and R'9 is H or (C10-C22) alkyl; and

(vii) -O(C6-C14) aryl optionally substituted by one or more –COOH or -CO-NH_2;

R3 is H or -COOH;

R4 is selected from the group consisting of:

(i) H;

(ii) -SO_3H

(iii) -O(C6-C14) aryl optionally substituted by one or more –COOH;

(iv) -S(C6-C14) aryl optionally substituted by one or more –COOH; and

(v) -NR9-CO-R'9, wherein R9 and R'9 each independently is H or (C10-C22) alkyl;

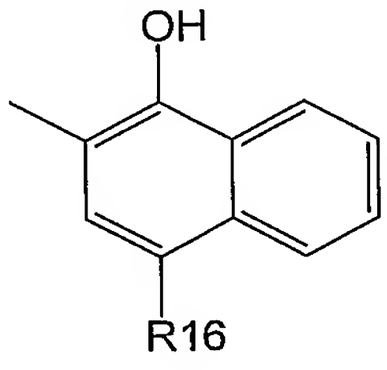
R5 is H, -COOH, -SO_3H, or -$NHSO_2$(C6-C14) aryl optionally substituted by one or more -COOH;

R6 is H;

R9 is H or (C10-C22) alkyl;

R10 is selected from the group consisting of:

(i) (C10-C22) alkyl optionally substituted by one or more radicals selected from the group consisting of halogen, OH, epoxy and epithio;

(ii) 

wherein

R16 is H, halogen, -COOH, -SO_3H, -S-tetrazol-5-yl optionally substituted by phenyl, or -N=N-(C6-C14) aryl optionally substituted by one or more radicals selected from the group consisting of halogen, (C1-C6) alkyl, (C6-C14) aryl, -OH, -COOH, -COOR'9, -OR'9 and -$NHSO_2R'9$, wherein R'9 is (C1-C6) alkyl or phenyl optionally substituted by (C1-C6) alkyl;

(iii) –CH_2-CO-R17, wherein R17 is (C10-C22) alkyl, (C6-C14) aryl optionally substituted by -O-(C10-C22) alkyl or by –NH-CO-(C10-C22) alkyl; or –NH-NH-CO-(C10-C22) alkyl;

(iv) –NH-(C10-C22) alkyl; and

(v) (C10-C22) alkenyl optionally substituted by oxo;

wherein any "(C10-C22) alkyl" as defined in R2, R4, R9 and R10 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -$OSO_3R'9$, -$SO_3R'9$, -$SO_2R'9$, -$NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -$OPO_3R9R'9$, -$PO_2HR'9$ and –$PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, -(C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

74. The use according to claim 73 of a compound of formula Ib, wherein R2 is selected from the group consisting of:

(i) H;

(ii) Cl;

(iii) -OH;

(iv) $-OC_{18}H_{37}$;

(v) -COOH;

(vi) -NR9R'9, wherein R9 is H or methyl and R'9 is $-C_{18}H_{37}$;

and

(vii) phenoxy optionally substituted by one or more –COOH or $-CO-NH_2$;

R3 is H or -COOH;

R4 is selected from the group consisting of:

(i) H;

(ii) $-SO_3H$

(iii) phenoxy optionally substituted by one or more -COOH;

(iv) phenylthio optionally substituted by one or more -COOH; and

(v) -NR9-CO-R'9, wherein R9 and R'9 each independently is H or $-C_{17}H_{35}$;

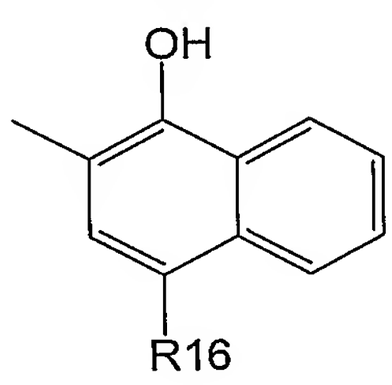
R5 is H, -COOH, $-SO_3H$, $-NHSO_2$-phenyl optionally substituted by one or more -COOH;

R6 is H;

R9 is H or $-C_{18}H_{37}$;

R10 is selected from the group consisting of:

(i) $-C_{17}H_{35}$, optionally substituted by one or more radicals selected from the group consisting of Cl, OH, epoxy and epithio;

(ii) 

wherein R16 is H, Br, -COOH, $-SO_3H$, -S-tetrazol-5-yl optionally substituted by phenyl, or –N=N-phenyl optionally substituted by one or more radicals selected from the group consisting of Cl, methyl,

phenyl, -OH, -COOH, -COOR'9, -OR'9 and -$NHSO_2$R'9, wherein R'9 is methyl or phenyl optionally substituted by methyl;

(iii) –CH_2-CO-R17, wherein R17 is selected from the group consisting of -$C_{17}H_{35}$, -$C_{18}H_{35}$, phenyl optionally substituted by -$OC_{18}H_{37}$ or by -NH-CO-(C15-C20) alkyl, preferably -NH-CO-$C_{17}H_{35}$, and -NH-NH-CO-(C15-C20) alkyl, preferably -NH-NH-CO -$C_{17}H_{35}$;

(iv) -NH-$C_{18}H_{37}$; and

(vi) -(C16-C20) alkenyl, preferably -$C_{17}H_{33}$ or –$C_{16}H_{31}$, optionally substituted by oxo.

75. The use according to claim 74 of a compound wherein R10 is -$C_{17}H_{35}$, selected from the compounds herein designated **Compounds Nos. 61, 87, 92, 93, 95** and **96**.

76. The use according to claim 74 of a compound wherein R10 is 1-hydroxy-4-R18-2-naphthyl, selected from the group of compounds herein designated **Compounds Nos. 3, 33, 34, 40, 41, 43, 45, 46, 47, 49, 50, 52, 53, 55, 62, 63** and 77.

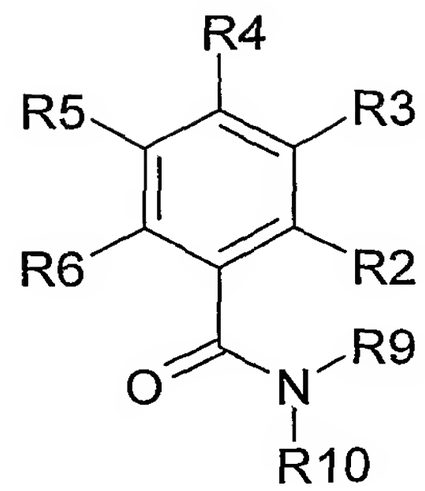
77. The use according to claim 74 of a compound wherein R10 is –CH_2-CO-R17, selected from the group of compounds herein designated **Compounds Nos. 2, 23, 44, 51, 60** and **64**.

78. The use according to claim 74 of a compound herein designated **Compound No. 70**, wherein R10 is -NH–$C_{18}H_{37}$.

79. The use according to claim 74 of a compound wherein R10 is (C10-C22) alkenyl, selected from the compounds herein designated **Compounds Nos. 86** and **94.**

80. Th use according to claim 68 of a compound of the formula Ic:

[Ic]



wherein

R2, R3, R4, R5, and R6 each independently represents hydrogen, halogen, nitro, (C1-C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl, -OR9', -SR9', -NR9R'9, $-(CH_2)_n$-NR9-COR'9, -COR'9, -COOR'9, $-(CH_2)_n$-CO-N(R9)(R'9); $-SO_3R'9$, $-SO_2R'9$, or $-NHSO_2R'9$;

or R3 and R4 together with the carbon atoms to which they are attached form a condensed benzene ring;

R9 is H or (C1-C32) alkyl and R'9 is H, (C1-C32) alkyl, (C2-C32) alkenyl or (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms;

R10 is

(i) (C10-C22) alkyl; or

(iv) $-(CH_2)_n$-NH-CO-R9-O-R'9, wherein R9 is (C1-C6) alkyl, R'9 is (C6-C14) aryl substituted by $-C_{15}H_{31}$; and n is an integer of 1 to 6;

and wherein the "(C1-C32) alkyl" and "(C2-C32) alkenyl"as defined in R2 to R6 and R9 and the "(C10-C22) alkyl" as defined in R10 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, -

$OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10;

and wherein any "(C6-C14) aryl" as defined in R2 to R6 and R9 may be substituted by one or more radicals selected from the group consisting of halogen, (C6-C14) aryl, (C1-C32) alkyl, nitro, OR'9, SR'9, -COR'9, COOR'9, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, $-(CH_2)_n$-NR9-COR'9, and $-(CH_2)_n$-CO-NR9R'9.

81. The use according to claim 68 of a compound of formula Ic, wherein

R2 is -OH;

R3 and R4 together with the carbon atoms to which they are attached form a condensed benzene ring;

R5 is H or $-SO_3H$;

R6 and R9 each is H; and

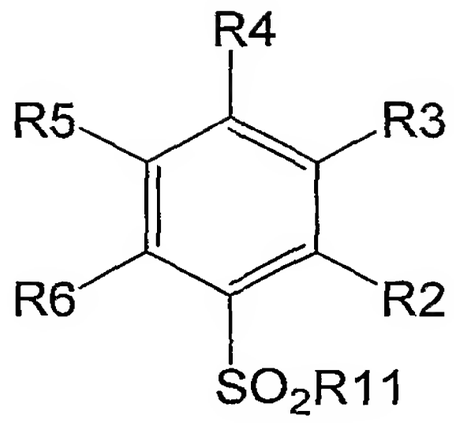
R10 is

(i) $-C_{18}H_{37}$; or

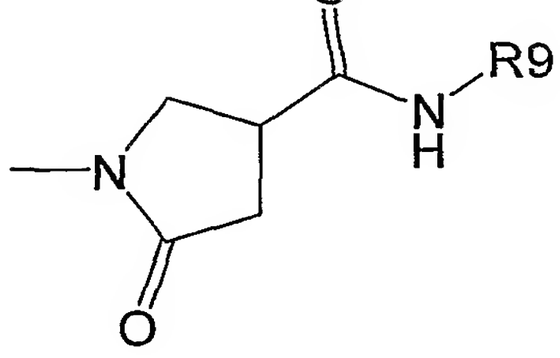
(ii) $-(CH_2)_n$-NH-CO-R9-O-R'9, wherein R9 is $-CH(C_2H_5)$ and R'9 is phenyl substituted by $-C_{15}H_{31}$; and n is 3.

82. The use according to claim 81 of the compound herein designated **Compound No. 31** or **No. 72**.

83. The use according to claim 68 of a compound of the formula Id:

[Id] 

wherein R2 is H;

R3 is H, -COOH, -NH_2, or  , wherein R9 is (C10-C22) alkyl;

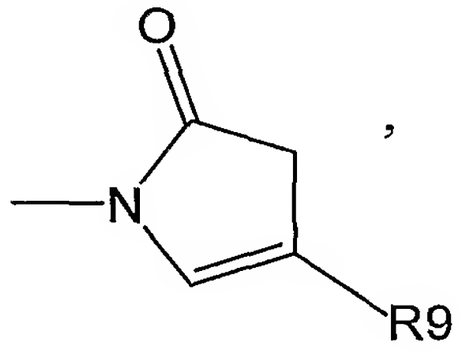
R4 is selected from the group consisting of:

(i) H;

(ii) -O-(C10-C22) alkyl;

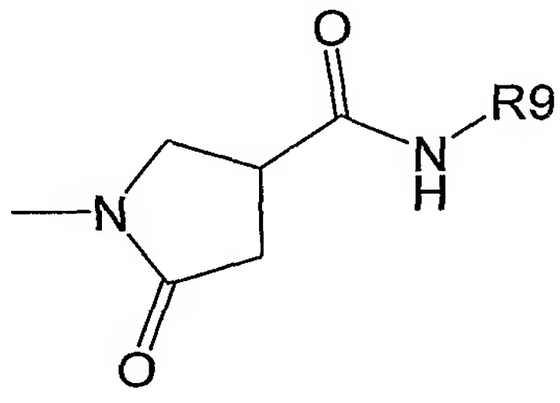
(iv) -NH-(C10-C22) alkyl;

(iv) -SO_2-(C10-C22) alkyl;

(v)  ,

wherein R9 is (C10-C22) alkyl; and

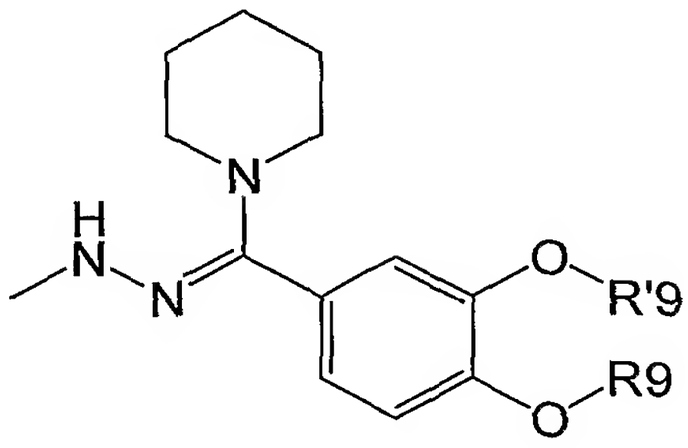
(viii) phenoxy optionally substituted by

-SO_3H or  , or both,

wherein R9 is (C10-C22) alkyl;

R5 is H, -COOH or -NH_2;

R6 is H or phenoxy optionally substituted by halogen, -COOH or -$CONH_2$;

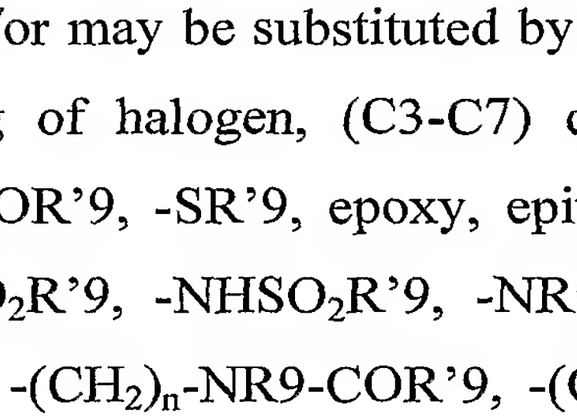
R11 is -OH or  ,

wherein R9 is (C10-C22) alkyl and R'9 is (C1-C6) alkyl;

wherein any "(C10-C22) alkyl" as defined in R4 and R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -OPO_3R9R'9, -PO_2HR'9 and –PO_3R9R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

84. The use according to claim 83 of a compound of formula Id, wherein

R2 is H;

R3 is H, -COOH, -NH_2 or 

wherein R9 is -$C_{18}H_{37}$;

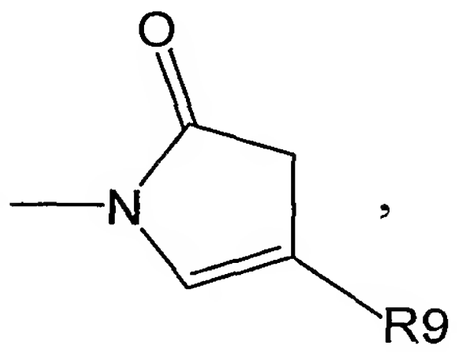
R4 is selected from the group consisting of:

(i) H;

(ii) $-O-C_{16}H_{33}$;

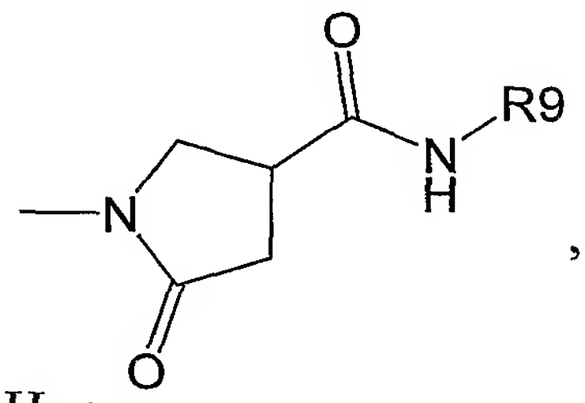
(iii) $-NH-C_{19}H_{39}$;

(iv) $-SO_2-C_{16}H_{33}$;

(v) 
,

wherein R9 is $-C_{15}H_{31}$; and

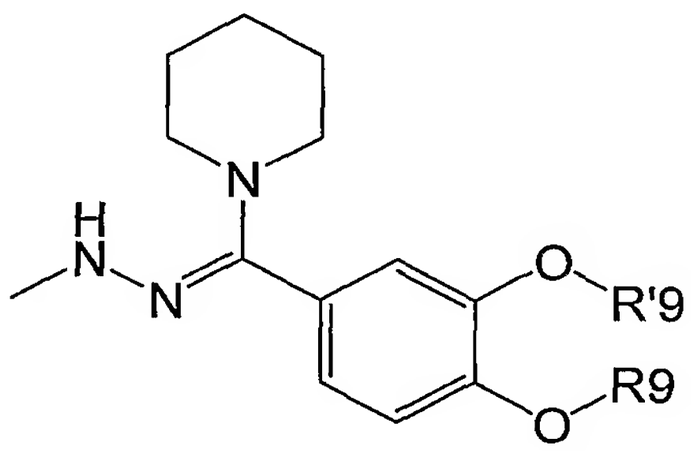
(vi) phenoxy, optionally substituted by

$-SO_3H$ or 
, or both,

wherein R9 is $-C_{18}H_{37}$;

R5 is H, -COOH, or $-NH_2$;

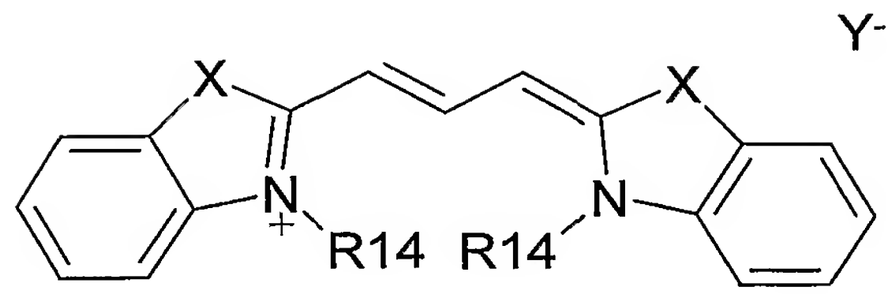
R6 is H or phenoxy optionally substituted by halogen, -COOH or $-CONH_2$; and

R11 is OH or 

wherein R9 is $-C_{16}H_{33}$ and R'9 is methyl.

85. The use according to claim 84 of a compound selected from the compounds herein designated **Compounds Nos. 75, 76, 88, 89, 101, 103, 104, 105, 106** and **107**.

86. The use according to claim 68 of a compound of the formula Ie:

[Ie] 

wherein

X is O or S;

R14 is (C10-C22) alkyl; and

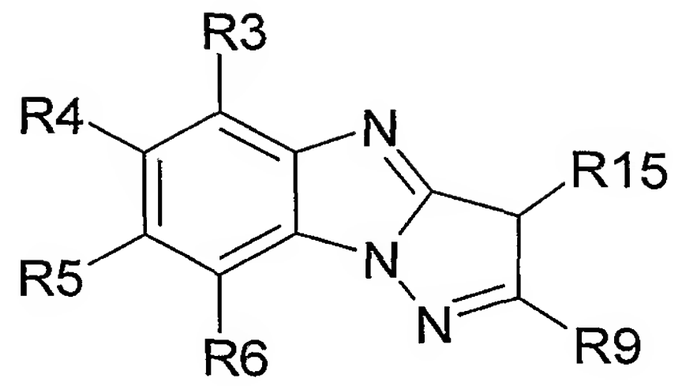
Y^- is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

and wherein the "(C10-C22) alkyl" as defined in R14 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl, preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

87. The use according to claim 86 of a compound of formula Ie, wherein X is O or S; R14 is $-C_{18}H_{37}$; and Y^- is perchlorate.

88. The use according to claim 87 of the **Compound No. 66** or **67**.

89. The according to claim 68 of a compound of the formula If:

[If] 

wherein

R3 and R5 each is H;

R4 is H, -COOH or -SO_3H;

R6 is H or -COOH;

R9 is H or (C10-C22) alkyl; and

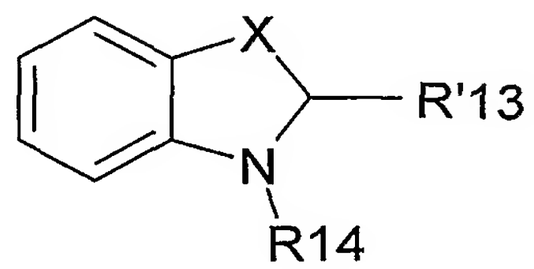
R15 is H or -SO_3H;

and wherein the "(C10-C22) alkyl" as defined in R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -OPO_3R9R'9, -PO_2HR'9 and -PO_3R9R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

90. The use according to claim 89 of a compound of formula If, wherein R3 and R5 are H; R6 is H or -COOH; R4 is H, -COOH or -SO_3H; R9 is H or -$C_{17}H_{35}$; and R15 is H or -SO_3H.

91. The use according to claim 90 of a compound selected from the compounds herein designated **Compounds Nos. 4, 35** and **36**.

92. The use according to claim 68 of a compound of the formula Ig:

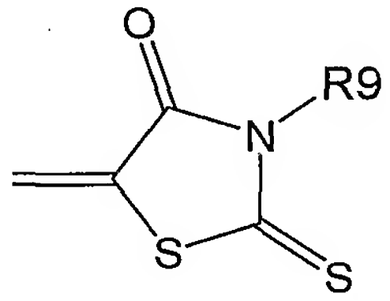
[Ig] 

wherein

X is NR12 or CR'12R''12;

R12 is (C10-C22) alkyl;

R'12 and R''12 each is (C1-C6) alkyl, or R'12 and R''12

together are a radical 

,

wherein R9 is H or (C10-C22) alkyl substituted by -COOH;

R'13 is selected from the group consisting of =O, =NH and =N-NH-SO_2-(C6-C14) aryl, wherein the aryl is either substituted by -COOH and -O-(C10-C22) alkyl, or by -NH-SO_2-phenyl, wherein the phenyl is substituted by -COOH and -O-(C10-C22) alkyl; and

R14 is (C1-C8) alkyl or -CH_2-CH(OH)-(C6-C14) aryl substituted by one or more (C1-C6) alkoxy;

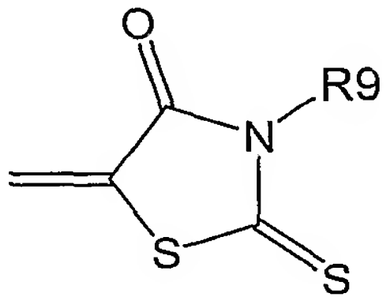
wherein any "(C10-C22) alkyl" as defined in R12 and R'13 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl, preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -OPO_3R9R'9, -PO_2HR'9 and -PO_3R9R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring,

optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

93. The use according to claim 92 of a compound of formula Ig, wherein

X is NR12 or CR'12R''12;

R12 is $C_{16}H_{33}$;

R'12 and R''12 each is methyl, or R'12 and R''12 together are a radical 

,

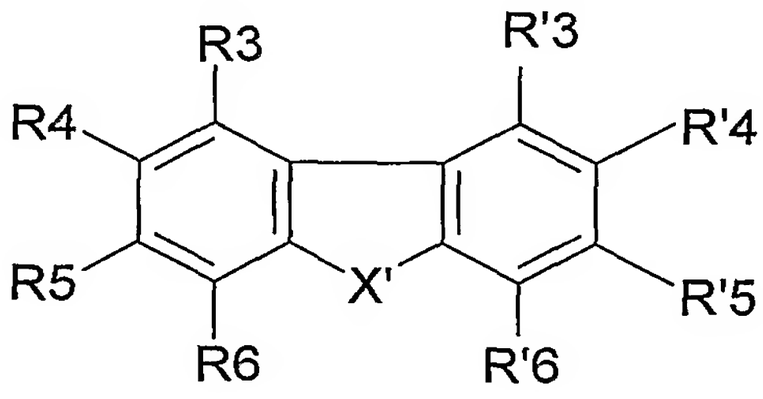
wherein R9 is H or -$C_{10}H_{20}$-COOH;

R'13 is =O, =NH or =N-NH-SO_2-phenyl, wherein the phenyl is either substituted by -COOH and -$OC_{18}H_{37}$, or by -NH-SO_2-phenyl, wherein the phenyl is substituted by -COOH and -$OC_{18}H_{37}$; and

R14 is methyl or ethyl, or -CH_2-CH(OH)-phenyl substituted by one or more methoxy groups.

94. The use according to claim 93 of a compound selected from the compounds herein designated **Compounds Nos. 48, 59 65** and **82**.

95. The use according to claim 68 of a compound of the formula Ih:

[Ih] 

wherein

X' is O or NR14;

R3, R4, R5, R'3 and R'5 each is H or halogen;

R'4 is H, halogen or (C10-C22) alkenyl;

R6 and R'6 each is H or -COOH; and

R14 is (C10-C22) alkyl interrupted by one or more N atoms and substituted by hydroxy;

and wherein the "(C10-C22) alkenyl" as defined in R'4 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO3R'9, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

96. The use according to claim 95 of a compound of formula Ih, wherein

X' is O or NR14;

R3, R4, R5, R'3 and R'5 each is H, Cl or Br;

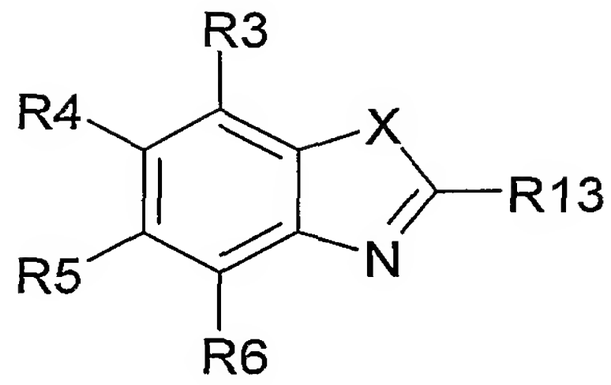
R'4 is selected from the group consisting of H, Cl, Br and $-C_{20}H_{39}$;

R6 and R'6 each is - H or -COOH; and

R14 is $-C_{10}H_{21}$-NH-CH_2-CH(OH)-CH_2- or $-C_{18}H_{37}$-NH-CH_2-CH(OH)-CH_2-.

97. The use according to claim 96 of a compound selected from the compounds herein designated **Compounds Nos. 68, 90** and **91**.

98. The use according to claim 68 of a compound of the formula Ii:

[Ii] 

wherein

X is O, S or NR12;

R4 is H or -SO_3H;

R6 is H;

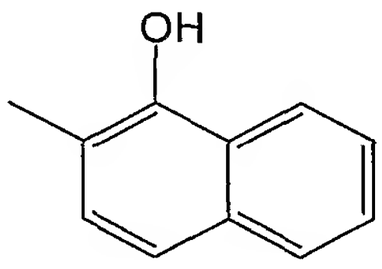
R3 is H or -COOH;

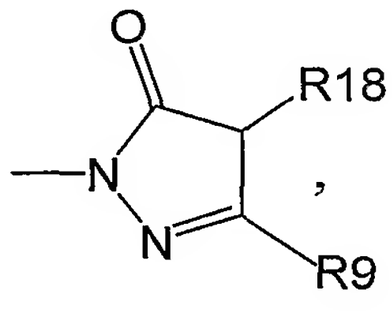
R5 is H, -COOH or -SO_3H;

R12 is H or (C10-C22) alkyl;

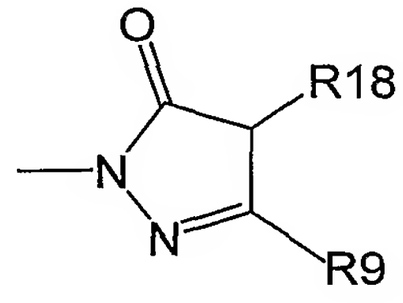
R13 is selected from the group consisting of:

(i) (C1-C6) alkyl;

(ii)  ;

(iii)  ,

wherein R9 is (C10-C22) alkyl and R18 is H or =N-(C6-C14) aryl wherein the aryl is optionally substituted by -NR9R'9, wherein R9 and R'9 each is (C1-C6) alkyl;

(iv) (C6-C14) aryl, optionally substituted by  ,

wherein R9 is (C10-C22) alkyl and R18 is =N-(C6-C14) aryl, wherein the aryl is optionally substituted by -NR9R'9, wherein R9 and R'9 each is (C1-C6) alkyl; and

(v) -N=CH-(C6-C10) aryl substituted by one or more halogen and -OH or by one or more -OH and nitro;

wherein any "(C10-C22) alkyl" as defined in R12 and R13 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

99. The use according to claim 98 of a compound of formula Ii, wherein

X is O, S or NR12;

R4 is H or $-SO_3H$;

R6 is H;

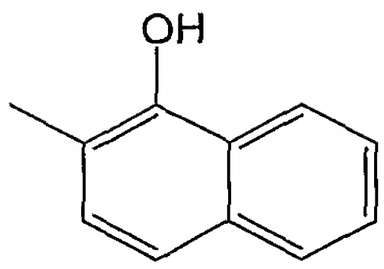
R3 is H or -COOH;

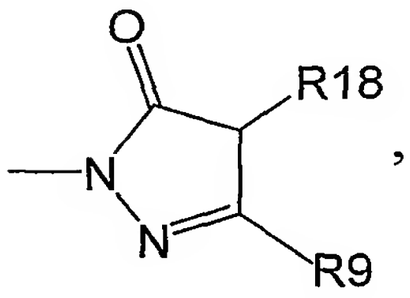
R5 is H, -COOH or $-SO_3H$;

R12 is H, $-C_{16}H_{33}$ or $-C_{18}H_{37}$;

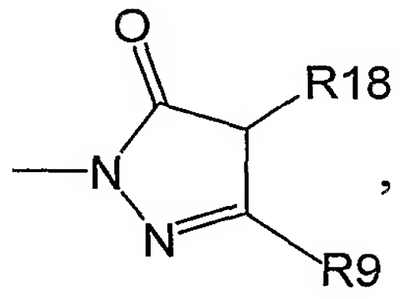
R13 is selected from the group consisting of:

(i) methyl;

(ii)  ;

(iii)  ,

wherein R9 is -$C_{17}H_{35}$ and R18 is H or =N-phenyl, wherein the phenyl is optionally substituted by -NR9R'9, wherein R9 and R'9 each is ethyl;

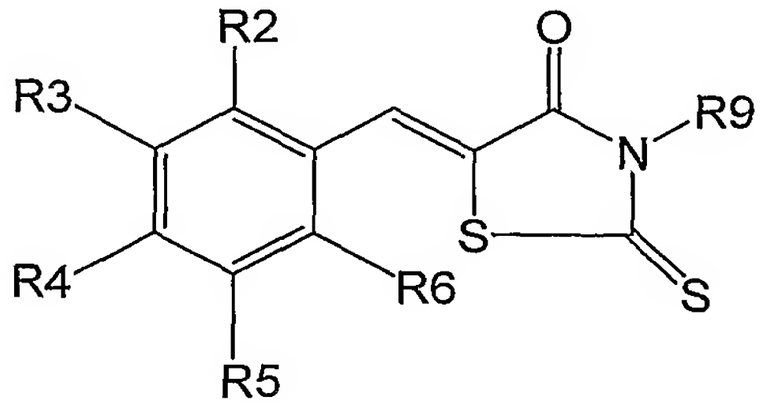
(iv) phenyl optionally substituted by  ,

wherein R9 is -$C_{17}H_{35}$ and R18 is =N-phenyl, wherein the phenyl is optionally substituted by -NR9R'9, wherein R9 and R'9 each is ethyl; and

(v) –N=CH-phenyl optionally substituted by -OH and one or more Cl or Br, or naphthyl optionally substituted by -OH or nitro, or both.

100. The use according to claim 32 of a compound selected from the compounds herein designated **Compounds Nos. 37, 38, 39, 42, 57, 58, 73** and **102**.

101. The use according to claim 68 of a compound of the formula Ij:

[Ij] 

wherein

R2, R4, R5 and R6 each is H;

R3 is H or halogen; and

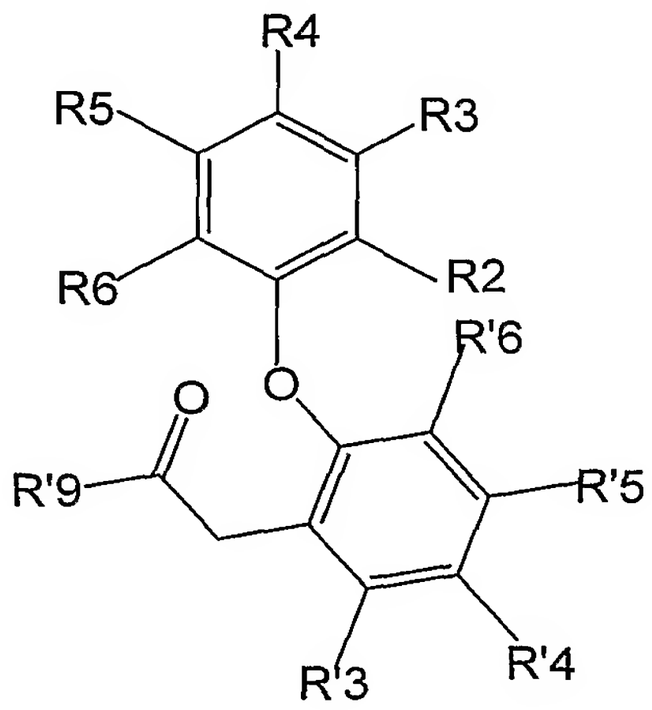
R9 is H or (C10-C22) alkyl substituted by -COOH.

102. The use according to claim 101 of a compound of formula Ij, wherein R2, R4, R5 and R6 each is H; R3 is H or Br; and R9 is H or $-C_{10}H_{20}$-COOH.

103. The use according to claim 102 of the compound herein designated **Compound No. 81**.

104. The use according to claim 68 of a compound of the formula Ik:

[Ik]



wherein

R2, R4, R6, R'3, R'5 and R'6 each is H;

R3, R5 and R'4 each is H or -COOH; and

R'9 is (C10-C22) alkenyl optionally substituted by OH and $-CF_3$;

and wherein the "(C10-C22) alkenyl" as defined in R'9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3$R'9, $-SO_3$R'9, $-SO_2$R'9, $-NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, -

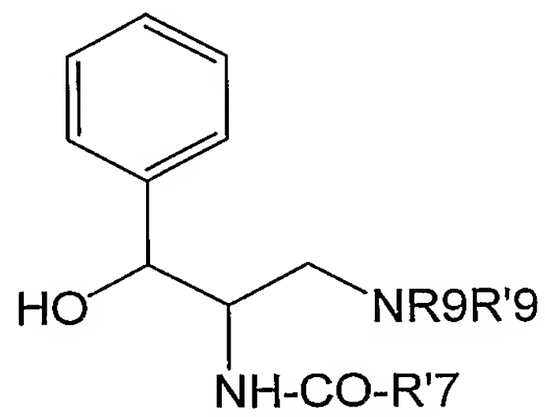
$OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

105. The use according to claim 104 of a compound of formula Ik, wherein R2, R4, R6, R'3, R'5 and R'6 each is H; R3, R5 and R'4 each is -COOH; and R'9 is $C_{17}H_{31}$ optionally substituted by OH and $-CF_3$.

106. The use according to claim 105 of the compound herein designated **Compound No. 98**.

107. The use according to claim 68 of a compound of the formula Il:

[Il]



wherein

R'7 is (C10-C22) alkyl; and

R9 and R'9 together with the N atom to which they are attached form a 3-7 membered saturated ring, optionally containing a further O, N or S atom;

and wherein any "(C10-C22) alkyl" as defined in R'7, may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9,

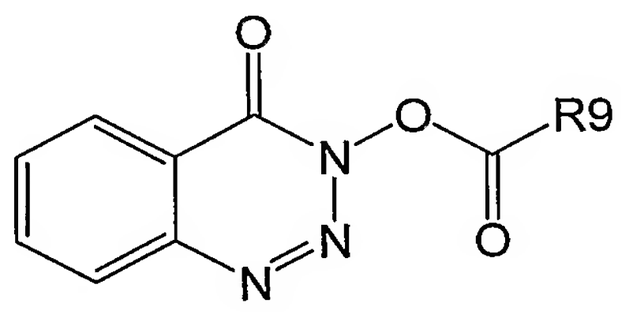
COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -OPO_3R9R'9, -PO_2HR'9 and -PO_3R9R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

108. The use according to claim 107 of a compound of formula Il, wherein R'7 is (C10-C22) alkyl and R9 and R'9 together with the N atom to which they are attached form a morpholine ring.

109. The use according to claim 108 of the compound herein designated **Compound No. 74.**

110. The use according to claim 68 of a compound of the formula Im:

[Im]



wherein

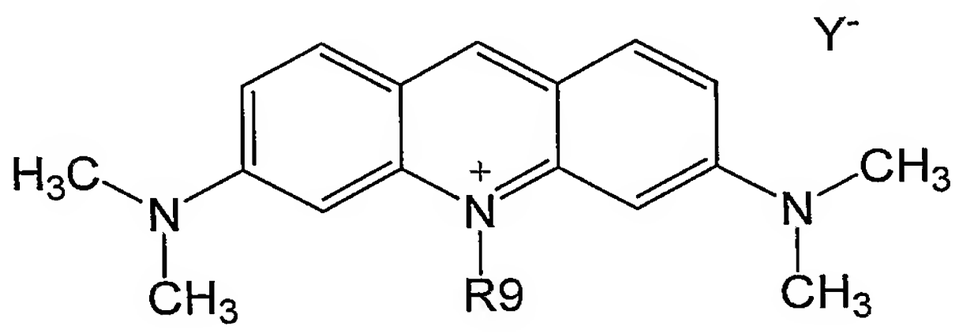
R9 is (C10-C22) alkyl, or (C10-C22) alkyl interrupted by one or more heteroatoms selected from the group consisting of O, S and N, or (C10-C22) alkyl substituted or both interrupted and substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, -(C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -OPO_3R9R'9, -PO_2HR'9 and -PO_3R9R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is

selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

111. The use according to claim 110 of a compound of formula Im, wherein R9 is $-C_{17}H_{33}$ optionally substituted by epoxy.

112. The use according to claim 111 of the compound herein designated **Compound No. 99.**

113. The use according to claim 68 of a compound of the formula In:

[In] 

wherein

R9 is (C10-C22) alkyl; and

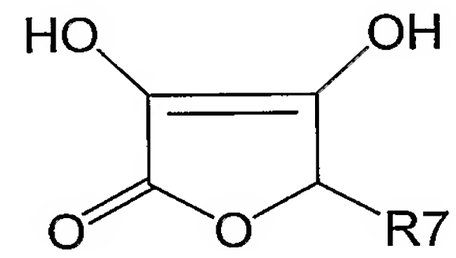
Y^- is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

and wherein the "(C10-C22) alkyl" as defined in R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein in this context R9 is H or -(C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl,

(C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

114. The use according to claim 113 of the compound herein designated **Compound No. 79**, wherein R9 is $-C_{18}H_{37}$ and Y^- is bromide.

115. The use according to claim 68 of a compound of the general formula II:

II 

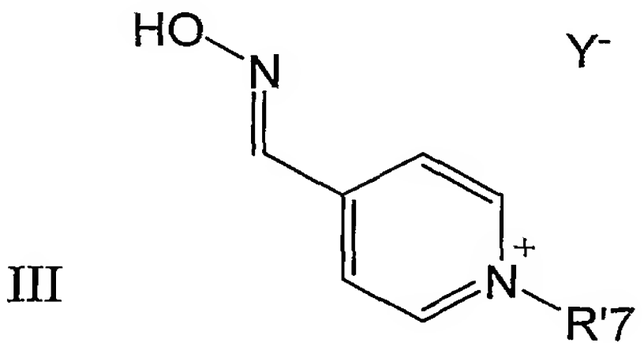
wherein

R7 is $-CH(OH)-CH_2-O-CO-R9$ and R9 is (C10-C22) alkyl;

and wherein the "(C10-C22) alkyl" as defined in R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or -(C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

116. The use according to claim 116 of the compound herein designated **Compound No. 78**, wherein R7 is -CH(OH)-CH_2-O-CO-R9 and R9 is -$C_{15}H_{31}$.

117. The use according to claim 68 of a compound of the general formula III:



III

wherein

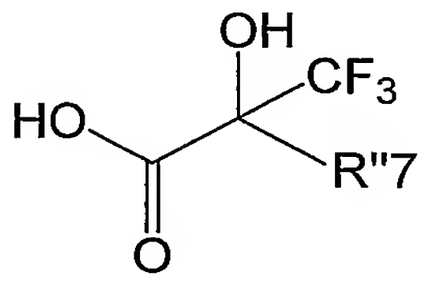
R'7 is (C10-C22) alkyl; and

Y^- is a counter ion selected from the group consisting chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

and wherein the "(C10-C22) alkyl" as defined in R'7 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO_3R'9, -SO_3R'9, -SO_2R'9, -$NHSO_2$R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -$(CH_2)_n$-NR9-COR'9, -$(CH_2)_n$-CO-NR9R'9, -OPO_3R9R'9, -PO_2HR'9 and -PO_3R9R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

118. The use according to claim 117 of the compound herein designated **Compound No. 80**, wherein R'7 is -$C_{16}H_{33}$, and Y^- is bromide.

119. The use according to claim 68 of a compound of the general formula IV:

IV 

wherein R''7 is (C2-C32) alkenyl, that may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, $-OSO_3R'9$, $-SO_3R'9$, $-SO_2R'9$, $-NHSO_2R'9$, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, $-(CH_2)_n$-NR9-COR'9, $-(CH_2)_n$-CO-NR9R'9, $-OPO_3R9R'9$, $-PO_2HR'9$ and $-PO_3R9R'9$; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

120. The use according to claim 119 of the compound herein designated **Compound No. 97**, wherein R''7 is $-C_{16}H_{31}$.

121. The use according to any one of claims 68 to 120 for inhibition of angiogenesis.

122. The use according to any one of claims 68 to 120 for treatment or inhibition of a malignant cell proliferative disease or disorder.

123. The use according to claim 122 for the treatment or inhibition of non-solid cancers, e.g. hematopoietic malignancies such as all types of leukemia, e.g. acute lymphocytic leukemia (ALL), acute myelogenous leukemia (AML), chronic

lymphocytic leukemia (CLL), chronic myelogenous leukemia (CML), myelodysplastic syndrome (MDS), mast cell leukemia, hairy cell leukemia, Hodgkin's disease, non-Hodgkin's lymphomas, Burkitt's lymphoma and multiple myeloma.

124. The use according to claim 122 for the treatment or inhibition of solid tumors such as tumors in lip and oral cavity, pharynx, larynx, paranasal sinuses, major salivary glands, thyroid gland, esophagus, stomach, small intestine, colon, colorectum, anal canal, liver, gallbladder, extrahepatic bile ducts, ampulla of vater, exocrine pancreas, lung, pleural mesothelioma, bone, soft tissue sarcoma, carcinoma and malignant melanoma of the skin, breast, vulva, vagina, cervix uteri, corpus uteri, ovary, fallopian tube, gestational trophoblastic tumors, penis, prostate, testis, kidney, renal pelvis, ureter, urinary bladder, urethra, carcinoma of the eyelid, carcinoma of the conjunctiva, malignant melanoma of the conjunctiva, malignant melanoma of the uvea, retinoblastoma, carcinoma of the lacrimal gland, sarcoma of the orbit, brain, spinal cord, vascular system, hemangiosarcoma and Kaposi's sarcoma.

125. The use according to claim 124 for treating or inhibiting tumor formation, primary tumors, tumor progression or tumor metastasis.

126. The use according to any one of claims 68 to 120 for treatment of ophthalmologic disorders such as diabetic retinopathy and macular degeneration, particularly age-related macular degeneration.

127. The use according to any one of claims 68 to 120 for inhibiting or treating cell proliferative diseases or disorders such as psoriasis, hypertrophic scars, acne and sclerosis/scleroderma.

128. The use according to any one of claims 68 to 120 for inhibition or treatment of a disease or disorder selected from polyps, multiple exostosis, hereditary exostosis, retrolental fibroplasia, hemangioma, reperfusion of gastric ulcer and arteriovenous malformation.

129. The use according to any one of claims 68 to 120, for contraception or for inducing abortion at early stages of pregnancy.

130. The use according to any one of claims 68 to 120, for treatment of, or amelioration of, inflammatory symptoms in any disease, condition or disorder where immune and/or inflammation suppression is beneficial.

131. The use according to claim 130 for treatment of, or amelioration of, inflammatory symptoms in the joints, musculoskeletal and connective tissue disorders.

132. The use according to claim 130 for treatment of, or amelioration of, inflammatory symptoms associated with hypersensitivity, allergic reactions, asthma, atherosclerosis, otitis and other otorhinolaryngological diseases, dermatitis and other skin diseases, posterior and anterior uveitis, conjunctivitis, optic neuritis, scleritis and other immune and/or inflammatory ophthalmic diseases.

133. The use according to any one of claims 68 to 120, for treatment of, or amelioration of, an autoimmune disease.

134. The use according to claim 133, wherein said autoimmune disease is Eaton-Lambert syndrome, Goodpasture's syndrome, Grave's disease, Guillain-Barré syndrome, autoimmune hemolytic anemia (AIHA), hepatitis, insulin-dependent diabetes mellitus (IDDM), systemic lupus erythematosus (SLE), multiple sclerosis (MS), myasthenia gravis, plexus disorders e.g. acute brachial neuritis, polyglandular

deficiency syndrome, primary biliary cirrhosis, rheumatoid arthritis, scleroderma, thrombocytopenia, thyroiditis e.g. Hashimoto's disease, Sjögren's syndrome, allergic purpura, psoriasis, mixed connective tissue disease, polymyositis, dermatomyositis, vasculitis, polyarteritis nodosa, polymyalgia rheumatica, Wegener's granulomatosis, Reiter's syndrome, Behçet's syndrome, ankylosing spondylitis, pemphigus, bullous pemphigoid, dermatitis herpetiformis, Crohn's disease or autism.

135. A method for treatment of a disease or disorder caused by or associated with heparanase catalytic activity, which comprises administering to a patient in need an effective amount of a heparanase inhibitor of the general formula I, II, III or IV in claim 1, or a pharmaceutically acceptable salt thereof.

136. A compound selected from the group of compounds herein designated **Compounds Nos. 12, 18, 27, 37, 48, 50, 61-63, 70, 71, 75, 77, 83-87, 90-96** and **98-107**.